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                 LPCI now available as a replacement to LDPCI
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         APR 15
                 WPIDS, WPINDEX, and WPIX enhanced with new
                 predefined hit display formats
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         APR 28
                 EMBASE Controlled Term thesaurus enhanced
         APR 28
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                 IMSRESEARCH reloaded with enhancements
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         MAY 30
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7-8

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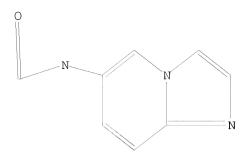
L1

L1 HAS NO ANSWERS

L1 STRUCTURE UPLOADED

STR

chain nodes : 10 11 12 14 ring nodes : 1 2 3 4 5 6 7 8 9 chain bonds : 2-10 10-11 11-12 ring bonds : 1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 exact/norm bonds : 1-2 1-6 2-3 2-10 3-4 4-5 4-7 5-6 5-9 8-9 10-11 11-12 exact bonds : isolated ring systems : containing 1 : Match level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 14:Atom Generic attributes : Saturation : Unsaturated



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=> s 11

SAMPLE SEARCH INITIATED 15:10:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 110 TO ITERATE

100.0% PROCESSED 110 ITERATIONS 12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1571 TO 2829 PROJECTED ANSWERS: 33 TO 447

L2 12 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 15:11:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2157 TO ITERATE

100.0% PROCESSED 2157 ITERATIONS 290 ANSWERS

SEARCH TIME: 00.00.01

L3 290 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

178.82

179.03

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FILE COVERS 1907 - 22 Jul 2008 VOL 149 ISS 4

FILE LAST UPDATED: 20 Jul 2008 (20080720/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> s 13 full L4 35 L3

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:318977 CAPLUS

DOCUMENT NUMBER: 148:355787

TITLE: Preparation of imidazopyridines and related compounds

for the treatment of Duchenne muscular dystrophy Wynne, Graham Michaell; Wren, Stephen Paul; Lecci,

Cristina

PATENT ASSIGNEE(S): Summit Corporation PLC, UK

SOURCE: PCT Int. Appl., 59pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PAT	PATENT NO.					KIND DATE				APPL	ICAT	ION 1	NO.	DATE				
	2008029152 2008029152			A2 A3	20080313			1	WO 2	007-		20070907						
	W: AE, AG, AL, CH, CN, CO, GB, GD, GE, KM, KN, KP, MG, MK, MN, PT, RO, RS, TR, TT, TZ,				CR, GH, KR, MW, RU,	CU, GM, KZ, MX, SC,	CZ, GT, LA, MY, SD,	DE, HN, LC, MZ, SE,	DK, HR, LK, NA, SG,	DM, HU, LR, NG, SK,	DO, ID, LS, NI, SL,	DZ, IL, LT, NO, SM,	EC, IN, LU, NZ, SV,	EE, IS, LY, OM,	EG, JP, MA, PG,	ES, KE, MD, PH,	FI, KG, ME, PL,	
	R₩:	AT, IS, BJ, GH,	BE, IT, CF, GM,	BG, LT, CG, KE,	CH, LU, CI, LS,	CY, LV, CM, MW,	CZ, MC, GA, MZ, TJ,	DE, MT, GN, NA,	DK, NL, GQ, SD,	EE, PL, GW, SL,	ES, PT, ML, SZ,	FI, RO, MR, TZ,	FR, SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	
PRIORITY	CIORITY APPLN. INFO.:								(	GB 2 GB 2 GB 2	006-	1928	2	Ž	A 2	0060: 0060: 0061:	929	

OTHER SOURCE(S): MARPAT 148:355787

GΙ

$$\begin{array}{c|c} A^{2} & & & A^{5} \\ & & & & \\ & & & & \\ A^{3} & & & \\ & & & & \end{array}$$

AB Title compds. I [A1-A5 = N or CR1; Y, Z = O, S(O)n, NR4, etc.; R4 = H or substituent; further detail on R4 is given; n = 0-2; Y and Z cannot both represent O, or S, or together represent O-S; when an adjacent pair of A1-A4 each represents CR1, then the adjacent carbon atoms, together with their substituents may form a ring] or pharmaceutically acceptable salts

were prepared For example, reaction of 2,5-diaminopyridine·2HCl with 2-bromo-1-(4-chlorophenyl)ethanone afforded compound II in 21% yield. Biol. activity for treating Duchenne muscular dystrophy was assessed using the luciferase reporter assay in murine H2K cells, e.g., compound II showed above 401% activity relative to control. Compds. I are also claimed useful for the therapeutic and/or prophylactic treatment of Becker muscular dystrophy or cachexia.

IT 1011709-39-9P 1011709-42-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of imidazopyridines and related compds. for treatment of Duchenne muscular dystrophy)

RN 1011709-39-9 CAPLUS

CN Carbamic acid, N-[2-(3,4-dichlorophenyl)imidazo[1,2-a]pyridin-6-yl]-, ethyl ester (CA INDEX NAME)

RN 1011709-42-4 CAPLUS

CN Carbamic acid, N-[2-(3,4-dichlorophenyl)imidazo[1,2-a]pyridin-6-yl]-N-methyl-, ethyl ester (CA INDEX NAME)

IT 900534-23-8P 1011709-34-4P 1011709-35-5P

1011709-37-7P 1011709-38-8P 1011709-40-2P

1011709-49-1P 1011709-51-5P 1011709-52-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyridines and related compds. for treatment of Duchenne muscular dystrophy)

RN 900534-23-8 CAPLUS

CN Acetamide, N-[2-(4-fluorophenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 1011709-34-4 CAPLUS

CN Propanamide, N-[2-(4-chlorophenyl)imidazo[1,2-a]pyridin-6-yl]-2-methyl-(CA INDEX NAME)

RN 1011709-35-5 CAPLUS

CN Propanamide, N-[2-(3,4-dichlorophenyl)imidazo[1,2-a]pyridin-6-yl]-2-methyl-(CA INDEX NAME)

RN 1011709-37-7 CAPLUS

CN Acetamide, N-[2-(4-chlorophenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 1011709-38-8 CAPLUS

CN Acetamide, N-[2-(3,4-dichlorophenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 1011709-40-2 CAPLUS

CN Cyclopropanecarboxamide, N-[2-(3,4-dichlorophenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 1011709-49-1 CAPLUS

CN Acetamide, N-[2-(2-naphthalenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 1011709-51-5 CAPLUS

CN Butanamide, N-[2-(3,4-dichlorophenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 1011709-52-6 CAPLUS

CN Butanamide, N-[2-(3,4-dichlorophenyl)imidazo[1,2-a]pyridin-6-yl]-N-methyl-(CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{Me} \\ & & \\$$

ANSWER 2 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN T. 4

2008:156992 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 148:215052

TITLE: Preparation of imidazo[1,2-a]pyridine derivatives as

skeletal muscle myosin modulators

INVENTOR(S): Muci, Alex; Finer, Jeffrey T.; Morgan, Bradley P.;

Russell, Alan James; Morgans, David J., Jr.

PATENT ASSIGNEE(S): Cytokinetics, Incorporated, USA

SOURCE: PCT Int. Appl., 75pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.						KIND DATE			TE APPLICATION NO.								
WO	2008	0166	48		A2	_	2008	20080207		WO 2	007-	US17:	 191		20070731			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
	CH, CN, CO,			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DΖ,	EC,	EE,	EG,	ES,	FΙ,	
	GB, GD, GE,			GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,		
	KM, KN, KP,			KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,		
	MG, MK, MN,				MW,	MX,	MY,	ΜZ,	NA,	NG,	ΝI,	NO,	NΖ,	OM,	PG,	PH,	PL,	
	PT, RO, RS,		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,			
		TR, TT, TZ,		UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	MΤ,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	
		GH,	GM,	ΚE,	LS,	M₩,	ΜZ,	ΝA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
	BY, KG, KZ,			KΖ,	MD,	RU,	ТJ,	TM										
PRIORITY	TY APPLN. INFO.:			.:					US 2006-834906P						P 20060801			
									1	US 2	006-	8367	47P	]	P 2	0060	809	
									1	US 2	007-	9209	21P	]	P 2	0070	330	

MARPAT 148:215052 OTHER SOURCE(S):

GΙ

$$R^{7}$$
 $NR^{1}R^{2}$ 
 $R^{6}$ 
 $R^{7}$ 
 $NR^{1}R^{2}$ 
 $R^{7}$ 
 $R^{7}$ 

Title compds. represented by the formula I [wherein R1 = H, alkyl, acyl, AB etc.; R2 = H, (un)substituted (cyclo)alkyl or alkoxycarbonyl; R3 = (un) substituted (cyclo) alkyl or (hetero) aryl; R4-R7 = independently H, halo, (un) substituted alkyl, etc.; and pharmaceutically acceptable salts thereof] were prepared and tested as skeletal muscle myosin modulators. For example, reaction of 2-amino-5-picoline with 4-hydroxybenzaldehyde and cyclopentyl isocyanide gave II in 66% yield, which had 13.5754 for SKM Myofibril AC1.4 (median). I are useful for modulating di-skeletal myosin, skeletal actin, skeletal tropomyosin, skeletal troponin C, skeletal troponin I, skeletal troponin T, and skeletal muscle, including fragments and isoforms thereof, as well as the skeletal sarcomere, and are useful in the treatment of obesity, sarcopenia, wasting syndrome, frailty, muscle spasm, neuromuscular disease, and other indications. TΤ

1005410-97-8P, N-[3-[(3-Chlorobenzyl)amino]-2-(2-

hydroxyphenyl)imidazo[1,2-a]pyridin-6-yl]-3-hydroxypropanamide 1005410-98-9P, N-[3-[(3-Chlorobenzyl)amino]-2-(2-hydroxyphenyl)imidazo[1,2-a]pyridin-6-yl]-3-methoxypropanamide 1005410-99-0P, N-[3-[(4-Fluorobenzyl)amino]-2-(2-hydroxyphenyl)imidazo[1,2-a]pyridin-6-yl]-3-hydroxypropanamide 1005411-00-6P, N-[3-[(4-Fluorobenzyl)amino]-2-(2-hydroxyphenyl)imidazo[1,2-a]pyridin-6-yl]-3-methoxypropanamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazo[1,2-a]pyridine derivs. as skeletal muscle myosin modulators)

RN 1005410-97-8 CAPLUS

CN Propanamide, N-[3-[[(3-chlorophenyl)methyl]amino]-2-(2-hydroxyphenyl)imidazo[1,2-a]pyridin-6-yl]-3-hydroxy- (CA INDEX NAME)

RN 1005410-98-9 CAPLUS

CN Propanamide, N-[3-[[(3-chlorophenyl)methyl]amino]-2-(2-hydroxyphenyl)imidazo[1,2-a]pyridin-6-yl]-3-methoxy- (CA INDEX NAME)

RN 1005410-99-0 CAPLUS

CN Propanamide, N-[3-[[(4-fluorophenyl)methyl]amino]-2-(2-hydroxyphenyl)imidazo[1,2-a]pyridin-6-yl]-3-hydroxy- (CA INDEX NAME)

RN

1005411-00-6 CAPLUS
Propanamide, N-[3-[[(4-fluorophenyl)methyl]amino]-2-(2-hydroxyphenyl)imidazo[1,2-a]pyridin-6-yl]-3-methoxy- (CA INDEX NAME) CN

L4 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:12488 CAPLUS

DOCUMENT NUMBER: 148:121708

TITLE: 2-Benzoylimidazo[1,2-a]pyridine derivatives, their

preparation and their therapeutic use for NOT

receptor-associated disease treatment

INVENTOR(S): El, Ahmad Youssef; Peyronel, Jean Francois

PATENT ASSIGNEE(S): Sanofi Aventis, Fr. SOURCE: Fr. Demande, 32pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.			KIN	D	DATE			APPLICATION NO.						DATE			
WO	2008	0038	54		A1 2008010 A2 2008011 A3 2008030			$\begin{array}{c} 0104 \\ 0110 \end{array}$		FR 2 WO 2									
WO	W:	AE, CH, GB, KM, MG, PT, TR, AT, IS, BJ, GH,	AG, CN, GD, KN, MK, RO, TT, BE, IT, CF, GM,	AL, CO, GE, KP, MN, RS, TZ, BG, LT, CG, KE,	AM, CR, GH, KR, MW, RU, UA, CH, LU, CI, LS,	AT, CU, GM, KZ, MX, SC, UG, CY, LV, CM,	AU, CZ, GT, LA, MY, SD, US, CZ, MC, GA, MZ,	AZ, DE, HN, LC, MZ, SE, UZ, DE, MT, GN, NA,	BA, DK, HR, LK, NA, SG, VC, DK, NL, GQ, SD,	DM, HU, LR, NG, SK, VN, EE, PL, GW, SL,	DO, ID, LS, NI, SL, ZA, ES, PT, ML, SZ,	DZ, IL, LT, NO, SM, ZM, FI, RO, MR, TZ,	EC, IN, LU, NZ, SV, ZW FR, SE, NE,	EE, IS, LY, OM, SY, GB, SI, SN,	EG, JP, MA, PG, TJ, GR, SK, TD,	ES, KE, MD, PH, TM, HU, TR,	FI, KG, ME, TN, IE, BF, BW,		
	PRIORITY APPLN. INFO.: OTHER SOURCE(S):						148:	1217		FR 2	FR 2006-6010					A 20060703			

Ι

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AB The invention is related to the preparation of title compds. I [X = (un) substituted phenyl; R1 = H, halo, alkoxy, NH2, etc.; R2 = H, alk(en/yn)yl, CN, alkoxycarbonyl, CHO, etc.; R3 = H, alkyl, halo, OH; R4 = H, halo; at least one of R1-R4 is not H; with the exclusion of specified compds.] and their acid addition salts for the preparation of a medicament for

the treatment and the prevention of the diseases in which the NOT receptor is implicated. Thus, cyclization of with 2-amino-5-methylpyridine with 3-bromo-1-phenylpropane-1,2-dione and acidulation with HCl in dioxane/diethyl ether gave imidazopyridine salt II•HCl. I were modulators of NOT; selected I displayed an average binding affinity towards human NOT receptor.

IT 1000845-22-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzoylimidazopyridines for NOT receptor-associated disease treatment)

RN 1000845-22-6 CAPLUS

CN Acetamide, N-(2-benzoylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

IT 1009095-25-3P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prophetic intermediate; preparation of benzoylimidazopyridines for NOT receptor-associated disease treatment)

RN 1009095-25-3 CAPLUS

CN Acetamide, N-(3-benzoylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:814035 CAPLUS

DOCUMENT NUMBER: 147:211857

TITLE: Preparation of aryl-isoxazol-4-yl-imidazo[1,2-

a]pyridine useful for the treatment of Alzheimer's

disease via GABA receptors

INVENTOR(S): Buettelmann, Bernd; Han, Bo; Knust, Henner; Thomas,

Andrew

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 47pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						KIND		DATE		APPLICATION NO.							DATE			
	WO	2007	0828	 06		A1	-	2007	0726		WO 2	007-	EP50	 137		2	0070	108			
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			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,			
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,			
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			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,			
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,			
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW									
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,			
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,			
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,			
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,			
			KG,	KΖ,	MD,	RU,	ΤJ,	TM													
	US 20070179178				A1		2007	0802		US 2	007-	6541	83		2	0070	116				
PRIORITY APPLN. INFO.:									EP 2	006-	1004	26		A 2	0060	117					
OTH:	OTHER SOURCE(S):					MAR:	PAT	147:	2118	57											
GΙ																					

$$R^{4}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{3}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{1}$ 

AB Title compds. I [R1 = H, halo, OH, alkyl, OCH2Ph or OCH2COR; R2 = H, halo, alkyl, alkynyl, NH2, etc.; R3 = H, halo, CN, alkyl, alkynyl, etc.; R4 = H or 5- to 6-membered heteroaryl; R5 = alkyl or cycloalkyl], and their pharmaceutically acceptable acid addition salts, are prepared and disclosed for the treatment of Alzheimer's disease via GABA receptors. (no data). Thus, e.g., II was prepared by cyclocondensation of 4-(bromoacetyl)-5-methyl-3-phenylisoxazole with 2-aminopyridine. The affinity of I at GABA A receptor subtypes was measured in radioligand binding assays with Ki value typically ≤ 300 nM. I showed high affinity and selectivity for

GABA A  $\alpha 5$  receptor binding sites and might be useful as cognitive enhancer or for the treatment of cognitive disorders like Alzheimer's disease.

ΙT 945103-50-4P, 2-Cyclopropyl-N-[2-(5-methyl-3-phenylisoxazol-4yl)imidazo[1,2-a]pyridin-6-yl]acetamide 945103-51-5P, N-[2-(5-Methyl-3-phenylisoxazol-4-yl)imidazo[1,2-a]pyridin-6-yl]-2-(pyridin-3-yl)acetamide 945103-52-6P, Cyclopropanecarboxylic acid N-[2-(5-methyl-3-phenylisoxazol-4-yl)imidazo[1,2-a]pyridin-6-yl]amide 945103-53-7P, Cyclobutanecarboxylic acid N-[2-(5-methyl-3phenylisoxazol-4-yl)imidazo[1,2-a]pyridin-6-yl]amide 945103-54-8P , Cyclopentanecarboxylic acid N-[2-(5-methyl-3-phenylisoxazol-4yl) imidazo[1, 2-a] pyridin-6-yl] amide 945103-55-9P, N-[2-(5-Methyl-3-phenylisoxazol-4-yl)imidazo[1,2-a]pyridin-6-yl]benzamide 945103-56-0P, N-[2-(5-Methyl-3-phenylisoxazol-4-yl)imidazo[1,2-yl]imidazo[1,2a]pyridin-6-yl]nicotinamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of arylisoxazolylimidazo[1,2-a]pyridine useful for treatment of Alzheimer's disease via GABA receptors)

RN 945103-50-4 CAPLUS

CN Cyclopropaneacetamide, N-[2-(5-methyl-3-phenyl-4-isoxazolyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 945103-51-5 CAPLUS

CN 3-Pyridineacetamide, N-[2-(5-methyl-3-phenyl-4-isoxazolyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 945103-52-6 CAPLUS

CN Cyclopropanecarboxamide, N-[2-(5-methyl-3-phenyl-4-isoxazolyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 945103-53-7 CAPLUS

CN Cyclobutanecarboxamide, N-[2-(5-methyl-3-phenyl-4-isoxazolyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 945103-54-8 CAPLUS

CN Cyclopentanecarboxamide, N-[2-(5-methyl-3-phenyl-4-isoxazolyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 945103-55-9 CAPLUS

CN Benzamide, N-[2-(5-methyl-3-phenyl-4-isoxazolyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 945103-56-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-(5-methyl-3-phenyl-4-isoxazolyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

945103-70-8P, [2-(5-Methyl-3-phenylisoxazol-4-yl)imidazo[1,2-a]pyridin-6-yl]carbamic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of arylisoxazolylimidazo[1,2-a]pyridine useful for treatment of Alzheimer's disease via GABA receptors)

RN 945103-70-8 CAPLUS

CN Carbamic acid, N-[2-(5-methyl-3-phenyl-4-isoxazolyl)imidazo[1,2-a]pyridin-6-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:619446 CAPLUS

DOCUMENT NUMBER: 147:31100

TITLE: Preparation of 6-iodoimidazo[1,2-a]pyridine,

6-iodobenzothiazole, 6-(fluoromethyl)- or

6-fluoroimidazo[1,2-a]pyridine, and

N-(imidazo[1,2-a]pyridin-6-yl)-2-fluoroacetamide derivatives as diagnostic agents and remedies for disease caused by amyloid aggregation and/or

deposition

INVENTOR(S): Bando, Kazunori; Taguchi, Kazumi

PATENT ASSIGNEE(S): Daiichi Radioisotope Labs., Ltd., Japan

SOURCE: PCT Int. Appl., 116pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.						KIND DATE			APPL	DATE								
WO	2007	0639	46		A1 2007060			0607	WO 2006-JP323955						20061130				
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KN,		
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,		
		MN,	MW,	MX,	MY,	MΖ,	NΑ,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,		
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,	TR,	TT,		
		TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW								
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,		
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,		
		GM,	ΚE,	LS,	MW,	MΖ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	KΖ,	MD,	RU,	ТJ,	TM												
PRIORITY	PRIORITY APPLN. INFO.:									JP 2005-346676						A 20051130			
OTHED CO		MADDAT 1/7,31100																	

OTHER SOURCE(S): MARPAT 147:31100

GΙ

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$$X^4$$

AB It is intended to provide diagnostic agents which specifically bind to an amyloid aggregate or deposit, readily pass through blood brain barrier, and are not metabolized in brain and thus enable the visualization or quantification of a disease caused by the amyloid aggregation and/or deposition. Namely, compds. represented by the following general formula (I) (X1 = optionally substituted bicyclic heterocycle group; X2 = H, halogen atom, a chelate-forming group; the ring A = benzene or pyridine ring; the ring B = optionally substituted 5-membered aromatic heterocycle group which is bonded to the benzene ring or the pyridine ring in the

formula at the carbon atom), salts thereof, solvates of the same, or transition metal complexes of the same are prepared. These compds. are useful as diagnostic agents (imaging agents) or preventive or therapeutic agents for diseases caused by amyloid aggregation and/or deposition, e.g. amyloidosis, Alzheimer's disease, Down's syndrome, Creutzfeldt-Jakob disease, type II diabetes, dialysis amyloidosis, AA amyloidosis, and Parkinson's disease. They are also useful for screening drugs for the prevention and/or treatment of diseases caused by amyloid aggregation and/or deposition. Thus, a solution of 5-(4-[6-(tributylstannyl)) imidazo[1,2-a]pyridin-2-yl]phenyl)-1,3-oxazole and [125I]NaI in 0.3 M sodium phosphate buffer was treated with an aqueous solution of sodium p-toluenesulfonchloramide and the resulting mixture was allowed to react at room temperature for 2 min

and

quenched by adding an aqueous solution of sodium pyrosulfite to give  $[125I]5-[4-(6-{\rm iodoimidazo}[1,2-{\rm a}]pyridin-2-y1)pheny1]-1,3-oxazole (II). II inhibited the binding of amyloid <math display="inline">\beta$  (1-40) peptide hydrochloride to IMPY, PIB, FDDNP, thioflavin T, Congo Red,  $5-[4-(6-{\rm iodoimidazo}[1,2-{\rm a}]pyridin-2-y1)pheny1]-1,3-oxazole (compound prepared), and <math display="inline">6-{\rm iodo}-2-[4-(1H-3-pyrazoly1)pheny1]imidazo[1,2-{\rm a}]pyridine$  (compound prepared) with IC50 of 29.3, 42.9, 1,050, 895, >5,000, 1.23, and 9.72  $\mu\rm M$ , resp. Number Abc.

IT 938461-32-6P, N-[2-[4-(1,3-Oxazol-5-yl)phenyl]imidazo[1,2-a]pyridin-6-yl]-2-chloroacetamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of iodoimidazo[1,2-a]pyridine, iodobenzothiazole, and fluorinatediodoimidazo[1,2-a]pyridine derivs. as diagnostics or drugs for diseases caused by amyloid aggregation and/or deposition)

RN 938461-32-6 CAPLUS

CN Acetamide, 2-chloro-N-[2-[4-(5-oxazolyl)phenyl]imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

IT 938461-29-1P, N-[2-[4-(1,3-0xazo1-5-y1)pheny1]imidazo[1,2-a]pyridin-6-y1]-2-fluoroacetamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of iodoimidazo[1,2-a]pyridine, iodobenzothiazole, and fluorinatediodoimidazo[1,2-a]pyridine derivs. as diagnostics or drugs for diseases caused by amyloid aggregation and/or deposition)

RN 938461-29-1 CAPLUS

CN Acetamide, 2-fluoro-N-[2-[4-(5-oxazolyl)phenyl]imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:350120 CAPLUS

DOCUMENT NUMBER: 146:358851

TITLE: Aryl-substituted imidazo[1,2-a]pyridine derivatives as

C3a receptor antagonists, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Claffey, Michelle Marie; Goldstein, Steven Wayne

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 69pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GT

PATE	PATENT NO.									APPL		DATE					
	WO 2007034278 WO 2007034278								,	WO 2	006-		20060918				
	W: AE, AG, AL,				_				BA,	BB,	ВG,	BR,	B₩,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,
		KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MY,	ΜZ,	ΝA,	NG,	ΝI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW							
	RW:	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	ΕE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	ΜZ,	ΝA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
	RU, TJ, TM, AP,				EA,	EP,	OA										
PRIORITY						US 2	005-	7184	51P		P 2	00509	919				
OTHER SOU	MAR:	PAT	146:	3588	51												

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The invention relates to aryl-substituted imidazo[1,2-a]pyridines and related compds. of general formula I, which are antagonists of the mammalian C3a receptor. In compds. I, W is (un)substituted pyrazolyl, (un) substituted oxazolyl, (un) substituted thiazolyl, or (un) substituted thienyl; n is 3, 4, or 5; each Z is independently selected from CR1, CHR1, C(=O), N, NR1, N(=O), S, and O, where the ring containing Z is a heterocyclyl or heteroaryl ring containing 1-3 heteroatoms independently selected from N, O, and S, and each R1 is independently H, halo, (un)substituted C1-8 alkyl, (un) substituted C1-6 alkoxy, (un) substituted sulfamoyl, (un) substituted C3-10 cycloalkyl, etc., and a bond between two groups  ${\tt Z}$  may be a single bond or a double bond; and U, V, X, and Y are independently selected from CH, CF, and N, where the ring contains no more than two nitrogen atoms. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I and optionally a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of chronic inflammatory diseases including inflammations in the central nervous system, peripheral nervous system, lungs, and bone joints. Deprotonation of 4-bromoacetophenone followed by condensation with Et trifluoroacetate and heterocyclocondensation with hydrazine gave pyrazole II, which was N-methylated, lithiated and condensed with N-methoxy-N-methyl-2-chloroacetamide resulting in the formation of chloroacetophenone III. Condensation of III with 6-chloropyridazin-3ylamine and heterocyclization gave imidazopyridazine IV, which underwent coupling with 2,4-dimethoxybenzylamine and acidic cleavage to give amine V. The compds. of the invention are antagonists of C3a receptors, e.g., compound V expressed IC50 value of  $18 \, \mathrm{nM}$ .

1T 929898-83-9P, N-[2-[4-(1-Methyl-5-trifluoromethyl-1H-pyrazol-3-yl)phenyl]imidazo[1,2-a]pyridin-6-yl]acetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of aryl-substituted imidazopyridine derivs. as C3a receptor antagonists)

RN 929898-83-9 CAPLUS

CN Acetamide, N-[2-[4-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]phenyl]imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

IT 929898-84-0P, N-[2-[4-(1-Methyl-5-trifluoromethyl-1H-pyrazol-3-yl)phenyl]imidazo[1,2-a]pyridin-6-yl]acetamide p-toluenesulfonic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aryl-substituted imidazopyridine derivs. as C3a receptor antagonists)

RN 929898-84-0 CAPLUS

CN Acetamide, N-[2-[4-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]phenyl]imidazo[1,2-a]pyridin-6-yl]-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 929898-83-9 CMF C20 H16 F3 N5 O

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

L4 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1001132 CAPLUS

DOCUMENT NUMBER: 146:27744

TITLE: A novel series of imidazo[1,2-a]pyridine derivatives

as HIF-1 $\alpha$  prolyl hydroxylase inhibitors

AUTHOR(S): Warshakoon, Namal C.; Wu, Shengde; Boyer, Angelique;

Kawamoto, Richard; Sheville, Justin; Renock, Sean; Xu, Kevin; Pokross, Matthew; Evdokimov, Artem G.; Walter,

Richard; Mekel, Marlene

CORPORATE SOURCE: Procter & Gamble Pharmaceuticals, Inc., Mason, OH,

45040, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),

16(21), 5598-5601

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:27744

AB Utilizing modeling information from a recently resolved structure of human  $\mathrm{HIF}\text{-}1\alpha$  prolyl hydroxylase (EGLN1) and structure-based design, a novel series of imidazo[1,2-a]pyridine derivs. was prepared The activity of these compds. was determined in a human EGLN1 assay and a limited SAR was developed.

IT 915788-42-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(A novel series of imidazo[1,2-a]pyridine derivs. as HIF-1 $\alpha$  prolyl hydroxylase inhibitors)

RN 915788-42-0 CAPLUS

CN Glycine, N-[[6-[(3-chlorobenzoyl)amino]imidazo[1,2-a]pyridin-2-yl]carbonyl]- (CA INDEX NAME)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:969755 CAPLUS

DOCUMENT NUMBER: 145:356641

TITLE: Preparation of fused pyrrolecarboxamides as a new

class of GABA brain receptor ligands

INVENTOR(S): Albaugh, Pamela; Shaw, Kenneth; Hutchison, Alan

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: U.S., 49pp., Cont.-in-part of U.S. Ser. No. 387,311.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE	
ZA 2002001649 A 20030314 ZA 2002-1649 200 US 20050014939 A1 20050120 US 2004-909022 200 PRIORITY APPLN. INFO.: US 1999-387311 B2 199 US 1999-151789P P 199	0000830 0020227 0040730 9990831 9990831

OTHER SOURCE(S): MARPAT 145:356641

GI

AB The title compds. [I; Y = H, OH, halo, etc.; T = halo, H, OH, etc.; W = O, NH, C(O), etc.; Z = OH, NH2, alkoxy, etc.; k, m = 0-3; R3-R6 = H, alkyl, CO2R11, etc. (wherein R11 = alkyl, cycloalkyl, etc.); or R3-R4 are taken together to form a cyclic moiety having 3-7 carbon atoms; or R5-R6 are taken together to form a cyclic moiety having 3-7 carbon atoms] which are highly selective agonists, antagonists or inverse agonists for GABAA brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABAA brain receptors, and therefore are useful in the diagnosis and treatment of anxiety, depression, Alzheimer's dementia, sleep and seizure

disorders, overdose with benzodiazepine drugs and for enhancement of memory, were prepared E.g., a multi-step synthesis of II which showed Ki of 90 nM against GABAA receptor binding, was given. Pharmaceutical compns., including packaged pharmaceutical compns., comprising the compds. I are further provided. Compds. I are also useful as probes for the localization of GABAA receptors in tissue samples.

IT 329018-52-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused pyrrolecarboxamides as a new class of GABA brain receptor ligands)

RN 329018-52-2 CAPLUS

CN 1H-Indole-3-carboxamide, 4,5,6,7-tetrahydro-N-imidazo[1,2-a]pyridin-6-yl-4-oxo- (CA INDEX NAME)

39

REFERENCE COUNT:

THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:498785 CAPLUS

DOCUMENT NUMBER: 145:167153

AUTHOR(S):

TITLE: A general and efficient method for the

copper-catalyzed cross-coupling of amides and thiophenols with 6-halo-imidazo[1,2-a]pyridines Enquehard-Gueiffier, Cecile; Thery, Isabelle;

Gueiffier, Alain; Buchwald, Stephen L.

CORPORATE SOURCE: UFR des Sciences Pharmaceutiques, Laboratoire de Chimie Therapeutique EA 3857, Tours, 37200, Fr.

SOURCE: Tetrahedron (2006), 62(25), 6042-6049

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:167153

AB Convenient and efficient methods for the preparation of novel amide and 6-(phenylthio)imidazo[1,2-a]pyridine derivs. that utilize copper-catalyzed methodologies are reported. These methods are particularly noteworthy because of their exptl. simplicity and the low cost of the catalyst system.

IT 900534-23-8P 900534-24-9P 900534-25-0P

900534-26-1P 900534-27-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of imidazo[1,2-a]pyridine derivs. via copper-catalyzed cross-coupling of amide, formamide or urea with (halo)imidazo[1,2-a]pyridine)

RN 900534-23-8 CAPLUS

CN Acetamide, N-[2-(4-fluorophenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 900534-24-9 CAPLUS

CN Formamide, N-[2-(4-fluorophenyl)imidazo[1,2-a]pyridin-6-yl]-N-(phenylmethyl)- (CA INDEX NAME)

RN 900534-25-0 CAPLUS

CN Benzamide, N-[2-(4-fluorophenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 900534-26-1 CAPLUS

CN Urea, N-[2-(4-fluorophenyl)imidazo[1,2-a]pyridin-6-yl]-N'-phenyl- (CA INDEX NAME)

RN 900534-27-2 CAPLUS

CN Carbamic acid, [2-(4-fluorophenyl)imidazo[1,2-a]pyridin-6-yl]phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN
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ACCESSION NUMBER: 2006:436738 CAPLUS

DOCUMENT NUMBER: 144:468203

TITLE: Preparation of novel fused imidazole derivatives as

polo like kinase 1 (PLK1) inhibitors and anticancer

agents

INVENTOR(S): Sato, Yoshiyuki; Kurihara, Hideki; Kamijo, Kaori;

Onozaki, Yu; Tsujino, Toshiaki; Sugimoto, Tetsuya;

Watanabe, Akiko; Mitsuya, Morihiro; Komatani, Hideya

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 216 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	KIND		DATE			APPL	ICAT	ION	NO.		D	ATE				
WO	2006	0493	39		A1		2006	0511		WO 2	005-	JP20	763		2	0051	107
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
	MZ, NA, NG					NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
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		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	KE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	TM										
AU	2005	3015	68		<b>A</b> 1		2006	0511		AU 2	005-	3015	68		2	0051	107
CA	2586	259			A1		20060511		CA 2005-2586259								
EP	1813	613			A1		20070801			EP 2	005-	8032	83		2	0051	107
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CN	1010	9887	0		A		2008	0102		CN 2	005-	8004	6274		2	0051	107
US	US 20080103136						2008	0501		US 2	007-	6672	82		2	0070	507
IN	IN 2007DN04027						2007	0831		IN 2	007-	DN40	27		2	0070	529
PRIORIT	IORITY APPLN. INFO.:									JP 2	004-	3234	38		A 2	0041	108
										WO 2	005-	JP20	763		W 2	0051	107
THER SO	HER SOURCE(S):					MARPAT 144			03								

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GΙ

Fused imidazole compds. such as imidazo[1,2-a]pyridine, AB imidazo[1,2-a]pyrazine, and imidazo[1,2-b]pyridazine derivs. represented by the general formula (I) pharmaceutically acceptable salts or esters thereof [the ring A = five-membered aromatic heterocyclic group containing at least one heteroatom selected from N, S, and O atoms; A1, A2 = CH, N, NH, S, O; all of X2-X5 = C atoms, or one of X2-X5 = N atoms and the rest = carbon atoms wherein R2-R5 is attached to the N atom; R1 = H, 1 or 2 halo-substituted Me, halo, OH, NH2; R1-R5 = H, -Y1-Y2-Y3-Y4; wherein Y1 = a single bond, CH2 CHMe, O, S, SO, SO2, CO, CONH, NHCO; Y2 = a single bond, (un)substituted (CH2)4; Y3 = a single bond, (un)substituted NH, S, O, SO2; Y4 = H, each (un) substituted lower alkyl, etc.; R6, R6' = H, (un) substituted lower alkyl, cycloalkyl; or R6 and R6' together form oxo; R7 = ary1, heteroary1; R8 = NH2, HO] are prepared These compds. are first known fused imidazole compds. with potent inhibitory activity against polo like kinase 1 (PLK1) and induce M phase arrest of cell cycle. Thus, Mitsunobu reaction of 3-hydroxy-5-imidazo[1,2-a]pyridin-2-yl-2thiophenecarboxylic acid Me ester with 1-(2-nitrophenyl)ethanol using tributylphosphine and diisopropyl azodicarboxylate followed by saponification, acidification, and amidation gave 5-imidazo[1,2-a]pyridin-3-yl-3-[1-(2-a)pyridin-3-[1-(2-a)pyridnitrophenyl)ethoxy]-2-thiophenecarboxamide (II) which were separated by a Chiralcel OD column to give (R) - and (S)-II. One of (R) - and (S)-II showed IC50 of 12 nM  $\mu$ g/mL against both PLK1 and PLK1-T210D and induced M phase arrest of cell cycle in HeLaS3 cells with EC50 of 0.07  $\mu M$ . 886857-58-5P 886859-30-9P ΙT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of novel fused imidazole derivs. as polo like kinase 1 (PLK1) inhibitors and anticancer agents)

RN 886857-58-5 CAPLUS

CN

2-Thiophenecarboxylic acid, 5-[6-[acety1[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]imidazo[1,2-a]pyridin-3-yl]-3-hydroxy-, methyl ester (CA INDEX NAME)

RN 886859-30-9 CAPLUS

CN Carbamic acid, [2-[[3-[5-(aminocarbonyl)-4-[(1R)-1-(2-chlorophenyl)ethoxy]-2-thienyl]imidazo[1,2-a]pyridin-6-yl]amino]-2-oxoethyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 886859-29-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel fused imidazole derivs. as polo like kinase 1 (PLK1) inhibitors and anticancer agents)

RN 886859-29-6 CAPLUS

CN 2-Thiophenecarboxamide, 3-[(1R)-1-(2-chlorophenyl)ethoxy]-5-[6-[[2-(dimethylamino)acetyl]amino]imidazo[1,2-a]pyridin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 11 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN
L4
                         2006:343955 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         144:390936
                         Aryl nitrogen-containing bicyclic compounds and their
TITLE:
                         preparation, pharmaceutical compositions, and protein
                         kinase inhibitory activity and use in prophylaxis and
                         treatment of kinase-mediated diseases
INVENTOR(S):
                         Patel, Vinod F.; Kim, Joseph L.; Geuns-Meyer,
                          Stephanie D.; Chaffee, Stuart C.; Cee, Victor J.;
                          Hodous, Brian L.; Bellon, Steven; Harmange,
                          Jean-Christophe; Olivieri, Philip R.; Thaman, Maya C.;
                          Dimauro, Erin F.; Buchanan, John L.; Mcgowan, David
                          C.; Albrecht, Brian K.; Deak, Holly L.; Bemis, Jean
                          E.; White, Ryan; Martin, Matthew W.; Habgood, Gregory
                          J.; Tempest, Paul A.; Masse, Craig E.; Buckner,
                         William H.; Herberich, Bradley J.; Graceffa, Russell;
                          Zhang, Dawei; Xu, Shimin; Sham, Kelvin; Rzasa, Robert
                         M.; Falsey, James Richard; Chakrabarti, Partha P.;
                         Cao, Guo-Qiang; Tomlinson, Susan Ann; Pettus, Liping
                          H.; Smith, Adrian Leonard; Paras, Nick A.; Liu, Gang;
                          Demorin, Frenel F.; Tasker, Andrew; Reed, Anthony
PATENT ASSIGNEE(S):
                          Amgen Inc., USA
                         PCT Int. Appl., 876 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         Enalish
LANGUAGE:
FAMILY ACC, NUM. COUNT:
PATENT INFORMATION:
                                            APPLICATION NO.
                         KIND
     PATENT NO.
                                DATE
                                                                     DATE
                         ____
                                 20060413
                                            WO 2005-US35873
     WO 2006039718
                          A2
                                                                     20051003
                                20060713
     WO 2006039718
                          A3
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
             NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
             SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
             YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
                                                                     20050930
     US 20070054916
                                 20070308
                                             US 2005-240590
                          A1
     AU 2005292152
                                 20060413
                                             AU 2005-292152
                          Α1
                                                                     20051003
     CA 2582029
                                 20060413
                                             CA 2005-2582029
                                                                     20051003
                          Α1
     EP 1836174
                                 20070926
                                             EP 2005-818381
                          A2
                                                                     20051003
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
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OTHER SOURCE(S): CASREACT 144:390936; MARPAT 144:390936

JP 2007-534914

US 2004-615535P US 2005-240590

WO 2005-US35873

MX 2007-3784

20051003

20070329 P 20041001

20050930

W 20051003

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BA, HR, MK, YU

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JP 2008515812

MX 200703784

PRIORITY APPLN. INFO.:

AΒ The invention comprises a class of compds. of formula I useful for the prophylaxis and treatment of protein kinase mediated diseases, including inflammation, cancer and related conditions. Compds. of formula I wherein A1 and one of A2 and A3 are independently CR5 or N; B is a bond, CR5R6, CO, NR6, O, S, SO, or SO2; R1 is halo, haloalkyl, NO2, CN, H, NH2 and derivs., OH and derivs., SH and derivs., CHO and derivs., OC(O)R and derivs., CO2H and derivs., CONH2 and derivs., CSNH2 and derivs., NHCHO and derivs., NHC(S)H and derivs., NHCONH2 and derivs., NHCSNH2 and derivs., SO2H and derivs., SO2NH2 and derivs., etc.; R2, R4, and R5 are independently H, halo, haloalkyl, NO2, CN, OH and derivs., SH and derivs., NH2 and derivs., CHO and derivs., CO2H and derivs., CONH2 and derivs., NHCONH2 and derivs., SO2H and derivs., SO2NH2 and derivs., NHSO2H and derivs., (un)substituted C1-10 (hetero)alkyl, (un)substituted C2-10 alkenyl, (un)substituted C2-10 (hetero)alkynyl, (un)substituted 3- to 10membered (hetero)cycloalkyl, (un)substituted 4- to 10-membered (hetero)cycloalkenyl, etc.; R3 is (un)substituted (un)saturated 5- to 8-membered (hetero)monocyclic, (un)substituted (un)saturated 6- to 12-membered (hetero)bicyclic, or (un)substituted (un)saturated 7- to 14-membered (hetero)tricyclic rings; R6 is H, (un)substituted C1-10 (hetero)alkyl, (un) substituted C2-10 (hetero) alkenyl, (un) substituted C2-10 (hetero)alkynyl, (un)substituted 3- to 10-membered (hetero)cycloalkyl, (un) substituted 4- to 10-membered (hetero) cycloalkenyl; and their stereoisomers, tautomers, solvates, pharmaceutically acceptable salts, derivs., and prodrugs thereof are claimed. Accordingly, the invention also comprises pharmaceutical compns. comprising the compds. of the invention, methods for the prophylaxis and treatment of kinase mediated diseases using the compds. and compns. of the invention, and intermediates and processes useful for the preparation of compds. of the invention. Example compound II was prepared by boration of 3-iodo-4-methylbenzoic acid with bis (pinacolato) diboron; the resulting 4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzoic acid was converted to the corresponding acid chloride, in situ, and reacted with 2-fluoro-5trifluoromethylbenzeneamine to give N-(2-fluoro-5-fluoromethylphenyl)-4methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl) benzamide, which underwent cross-coupling with 6-bromo-N-methylquinazolin-2-amine to give compound II. About 2000 invention compds. of formula I were prepared by similar procedures. All the invention compds. were tested for their protein kinase inhibitory activity. Example compound I along with many other invention compound showed good inhibitory activity. From the HTRF assay, the IC50 values for inhibition of Tie-2 was determined to be less than or equal to 1  $\mu\text{M}$  for some of the invention compds. For the inhibition

of Lck kinase enzyme, the some of the exemplary compds. exhibited an average IC50 value of 25  $\mu\text{M}$  or less and some invention compound exhibited an IC50 value of 1  $\mu\text{M}$  or less, in the human HTRF assay. The invention compds. were also found to be active inhibitors or the VEGF kinase receptor. Furthermore, some of the invention compds. exhibited activities in the monocyte assay with IC50 values of 25  $\mu\text{M}$  or less. Various compds. of the invention have selective inhibitory activity for specific kinase receptor enzymes, including Tie-2, Lck, p38 and VEGFR/KDR. Accordingly, the compds. of the invention would be useful in therapy as antineoplasia agents, antiinflammatory agents, or to minimize deleterious effects of Tie-2, Lck, VEGF and/or p38.

IT 882674-65-9P 882674-95-5P 882674-96-6P 882674-97-7P 882674-98-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aryl nitrogen-containing bicyclic compds.

and

their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

RN 882674-65-9 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-[2-(1,1-dimethylethyl)imidazo[1,2-a]pyridin-6-yl]-4-methyl- (CA INDEX NAME)

RN 882674-95-5 CAPLUS

CN Benzamide, N-[2-(1,1-dimethylethyl)imidazo[1,2-a]pyridin-6-yl]-4-methyl-3-[2-[[3-(4-morpholinyl)propyl]amino]-6-quinazolinyl]- (CA INDEX NAME)

RN 882674-96-6 CAPLUS

CN Benzamide, N-[2-(1,1-dimethylethyl)imidazo[1,2-a]pyridin-6-yl]-4-methyl-3-[2-[(1-methyl-4-piperidinyl)amino]-6-quinazolinyl]- (CA INDEX NAME)

RN 882674-97-7 CAPLUS

 $\label{eq:cn_sol} \texttt{CN} \quad \texttt{Benzamide, 3-[2-[[3-(diethylamino)propyl]amino]-6-quinazolinyl]-N-[2-(1,1-1)]} \\ = \frac{1}{2} \left[ \frac{1}{2} - \frac{$ 

dimethylethyl)imidazo[1,2-a]pyridin-6-yl]-4-methyl- (CA INDEX NAME)

RN 882674-98-8 CAPLUS

CN Benzamide, N-[2-(1,1-dimethylethyl)imidazo[1,2-a]pyridin-6-yl]-4-methyl-3-[2-(methylamino)-6-quinazolinyl]- (CA INDEX NAME)

L4 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1310699 CAPLUS

DOCUMENT NUMBER: 144:36343

TITLE: Preparation of imidazopyridines and their use as

activin receptor-like kinase 5 (ALK5) inhibitors for

treatment of TGF  $\beta$ -related diseases

INVENTOR(S): Sato, Masakazu; Matsunaga, Yuiko; Asanuma, Hajime

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE		
JP 2005343889	A	20051215	JP 2005-128778		20050427		
PRIORITY APPLN. INFO.:			JP 2004-137544 A	Ŧ.	20040506		
OTHER SOURCE(S):	MARPAT	144:36343					

R6 R5 R4

R3

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AB Title compds. I [R1 = Ph substituted with halo, C1-6 alkyl(oxy), arylalkoxy, OH; (hetero)cyclyl-condensed benzene ring; R2 = (un)substituted 2-pyridyl, (un)substituted 2- or 4-thiazolyl] or their medically acceptable salts are prepared They are useful for treatment of alopecia, diabetic renal disease, cirrhosis, etc. Thus, cyclocondensation of 2-bromo-2-(4-methoxyphenyl)-1-pyridin-2-ylethanone with 2-aminopyridine gave 3-(4-methoxyphenyl)-2-pyridin-2-ylimidazo[1,2-a]pyridine, which was demethylated to afford phenol derivative The product inhibited TGF- $\beta$ 1-induced phosphorylation of Smad2/3.

IT 870990-98-0P 870990-99-1P

RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyridines as activin receptor-like kinase 5 inhibitors for treatment of TGF  $\beta$ -related diseases)

RN 870990-98-0 CAPLUS

CN Butanamide, N-[3-[4-(phenylmethoxy)phenyl]-2-(2-pyridinyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 870990-99-1 CAPLUS

CN Butanamide, N-[3-(4-hydroxyphenyl)-2-(2-pyridinyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

L4 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1220297 CAPLUS

DOCUMENT NUMBER: 143:460157

TITLE: Preparation of imidazopyridine derivatives as

antagonists of melanin concentrating hormone receptor INVENTOR(S): Sakuraba, Shunji; Moriya, Minoru; Takahashi, Hidekazu; Kishino, Hiroyuki; Jitsuoka, Makoto; Kameda, Minoru;

Kanatani, Akio

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd, Japan

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	KIND DATE						_		DATE								
WO	2005	A1 20051117						005-		20050509							
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KP,	KR,	KΖ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,
		ZA,	ZM,	ZW													
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MΖ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,
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					TD,												
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EP					A1 20070131												
	R:						CZ,				•	•	•	•	•	•	•
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	1950																
	IN 2006DN06366				A 20070831												
	US 20070249659				A1 20071025				US 2006-579570								
PRIORIT	RIORITY APPLN. INFO.:			.:							004-						
										WO 2	005-	JP88	19	,	W 2	0050	509
OTHER SO	THER SOURCE(S): I					PAT	143:	4601	57								

AB Imidazopyridine compds. represented by the formula (I) [wherein R1 , R2 independently = H, halo, each (un)substituted C1- alkyl, C2-6 alkenyl, C3-8 cycloalkyl-C0-4 alkyl, C1-6 alkylamino di(C1-6 alkyl)amino, C1-6 alkylcarbonylamino, C1- alkylcarbonyl(C1-6 alkyl)amino, 3- to 8-membered heterocyclyl- C0- alkyl, or pyrazolyl-C1-4 alkyl; or R1 and R2 together

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with the carbon atoms to which they are bonded, form 5- to 8-membered
carbocyclic ring; R3 = H, halo, C1-6 alkyl, C1-6 alkyloxy; R4 = H C1-6
alkyl; W = (CH2)m+1, (CH2)mCH:CH(CH2)n, (CH2)m-O-(CH2)n, O-(CH2)m+1-O,
(CH2)m-(O)y1-(CH2)n, (CH2)m-C(O)-(O)y2-(CH2)n, (CH2)m-CONH-(CH2)n,
(CH2)m-NHCO-(CH2)n, or (CH2)m-NH-(CH2)n each optionally substituted in the
alkylene group; m, n = an integer of 0-10, 0 \le m+n \le 10; y1 = 0,
1,2; y2 = 0, 1; Ar1 = a divalent substituent, e.g., (un) substituted mono-
or bicyclic 3- to 8-membered aromatic or aliphatic heterocyclic or carbocyclic
group; Ar2 = 5- to 6-membered aromatic carbocyclic or aromatic heterocyclic
group] or pharmacol. acceptable salts thereof are prepared These compds.
function as melanin-concentrating hormone (MCH) receptor antagonists and are
useful as preventive or therapeutic agents for obesity, diabetes, hormone
secretion disorder, gout, fatty liver, metabolic diseases represented by
hepatitis or liver cirrhosis, angina pectoris, acute or ischemic heart
failure, myocardial infarction, coronary arteriosclerosis, hypertension,
Kidney disease, electrolyte abnormally represented by circulatory system
disease, overeating, affective disorder, depression, anxiety, delirium,
dementia, asyndesis, attention deficit hyperactivity disorder (ADHD),
memory disorder, sleep disorder, cognition disorder, dyskinesia (movement
disorder), sensory abnormality, olfaction disorder, morphine tolerance,
central or peripheral neurol. diseases represented by drug dependence or
alc. dependence, sterility, premature birth, sexual function disorders,
digestive tract diseases, respiratory tract diseases, cancer, or skin
pigmentation. Thus, a solution of 50 mg 2-cyclopropyl-3-methylimidazo[1,2-
a]pyridin-6-ylamine dihydrochloride in 1 mL DMF was treated with 50 mg
4-(6-chloropyridin-3-ylmethoxy) benzenecarboxylic acid, 79 mg HATU, and 232
μL diisopropylethylamine, stirred at room temperature for 2 h to give
4-(6-chloropyridin-3-ylmethoxy)-N-(2-cyclopropyl-3-methylimidazo[1,2-
a]pyridin-6-yl)benzamide. N-[3-Methyl-2-(3-pyrrolidin-1-
yl)ethenyl]benzamide showed IC50 of 0.47 nM against the binding of
[125I]MCH to human MCH-1 receptor.
869107-41-5P 869107-42-6P 869107-43-7P
869107-44-8P 869107-46-0P 869107-47-1P
869107-48-2P 869107-49-3P 869107-50-6P
869107-51-7P 869107-52-8P 869107-54-0P
869107-55-1P 869107-56-2P 869107-57-3P
869107-58-4P 869107-59-5P 869107-60-8P
869107-61-9P 869107-62-0P 869107-63-1P
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869107-67-5P 869107-68-6P 869107-70-0P
869107-71-1P 869107-72-2P 869107-73-3P
869107-74-4P 869107-75-5P 869107-76-6P
869107-77-7P 869107-78-8P 869107-79-9P
869107-80-2P 869107-81-3P 869107-82-4P
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869107-94-8P 869107-95-9P 869107-96-0P
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869108-18-9P 869108-20-3P 869108-22-5P
869108-24-7P 869108-25-8P 869108-26-9P
869212-68-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
```

ΙT

(Uses)

 $(\mbox{preparation of } \mbox{imidazopyridine derivs. as antagonists of melanin concentrating}$ 

hormone receptor)

RN 869107-41-5 CAPLUS

CN Benzamide, 4-[(6-chloro-3-pyridiny1)methoxy]-N-(2-cyclopropy1-3-methylimidazo[1,2-a]pyridin-6-y1)- (CA INDEX NAME)

RN 869107-42-6 CAPLUS

CN Benzamide, 4-[(5-chloro-2-pyridinyl)methoxy]-N-[3-methyl-2-[(1R,2R)-2-methylcyclopropyl]imidazo[1,2-a]pyridin-6-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 869107-43-7 CAPLUS

CN Benzamide, N-[2-(trans-3-methoxycyclobutyl)-3-methylimidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 869107-44-8 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-5-(phenylmethoxy)- (CA INDEX NAME)

RN 869107-46-0 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(phenylmethoxy)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 869107-45-9 CMF C25 H23 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 869107-47-1 CAPLUS

CN Benzamide, 4-[(5-fluoro-2-pyridinyl)methoxy]-N-[3-methyl-2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 869107-48-2 CAPLUS

CN Benzamide, 4-[(3-fluoro-2-pyridinyl)methoxy]-N-[3-methyl-2-(tetrahydro-3-furanyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

$$CH_2-O$$
 $C$ 
 $N$ 
 $Me$ 
 $N$ 
 $N$ 
 $N$ 

RN 869107-49-3 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(6-fluoro-2-pyridinyl)methoxy]- (CA INDEX NAME)

RN 869107-50-6 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-3-fluoro-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

RN 869107-51-7 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-2-fluoro-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} O & Me \\ \hline C-NH & N \\ \hline N & N \\ \end{array}$$

RN 869107-52-8 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-3-fluoro-4-[(5-fluoro-2-pyridinyl)methoxy]- (CA INDEX NAME)

RN 869107-54-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[(5-chloro-2-pyridiny1)methoxy]-N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

RN 869107-55-1 CAPLUS

CN Benzamide, N-[3-methyl-2-(1-pyrrolidinylmethyl)imidazo[1,2-a]pyridin-6-yl]-4-(phenylmethoxy)- (CA INDEX NAME)

RN 869107-56-2 CAPLUS

CN Benzamide, N-[2-(3-hydroxypropy1)-3-methylimidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

RN 869107-57-3 CAPLUS

CN Benzamide, N-[2-[(1E)-3-hydroxy-1-propen-1-yl]-3-methylimidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

RN 869107-58-4 CAPLUS

CN Benzamide, N-[2-(3-hydroxy-3-methylbutyl)-3-methylimidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

RN 869107-59-5 CAPLUS

CN Benzamide, N-[3-methyl-2-[3-(1-pyrrolidinyl)propyl]imidazo[1,2-a]pyridin-6-yl]-4-(phenylmethoxy)- (CA INDEX NAME)

RN 869107-60-8 CAPLUS

CN Benzamide, N-[3-methyl-2-[3-(1-pyrrolidinyl)propyl]imidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

RN 869107-61-9 CAPLUS

CN Benzamide, N-[2-[3-[(3S)-3-fluoro-1-pyrrolidinyl]propyl]-3methylimidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 869107-62-0 CAPLUS

CN Benzamide, N-[2-[3-(3,3-difluoro-1-pyrrolidinyl)propyl]-3methylimidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

RN 869107-63-1 CAPLUS

CN Benzamide, N-[3-methyl-2-[3-(4-morpholinyl)propyl]imidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

RN 869107-64-2 CAPLUS

CN Benzamide, N-[3-methyl-2-[3-(1H-pyrazol-1-yl)propyl]imidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

RN 869107-65-3 CAPLUS

CN Benzamide, N-[3-methyl-2-(tetrahydro-3-furanyl)imidazo[1,2-a]pyridin-6-yl]-4-[1-(2-pyridinyl)ethoxy]- (CA INDEX NAME)

RN 869107-66-4 CAPLUS

CN Benzamide, N-[3-methyl-2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]-4-[(5-methyl-1,3,4-thiadiazol-2-yl)methoxy]- (CA INDEX NAME)

RN 869107-67-5 CAPLUS

CN Benzamide, N-(2-cyclohexyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(2-pyridinyloxy)methyl]- (CA INDEX NAME)

RN 869107-68-6 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(5-fluoro-2-pyrimidinyl)hydroxymethyl]- (CA INDEX NAME)

RN 869107-70-0 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(1E)-2-phenylethenyl]- (CA INDEX NAME)

RN 869107-71-1 CAPLUS

CN Benzamide, N-[3-methyl-2-(3-oxocyclobutyl)imidazo[1,2-a]pyridin-6-yl]-4-[(1E)-2-(2-pyridinyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-72-2 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(1E)-2-(6-methyl-2-pyridinyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-73-3 CAPLUS

CN Benzamide, 3-fluoro-N-[3-methyl-2-(tetrahydro-3-furanyl)imidazo[1,2-a]pyridin-6-yl]-4-[(1E)-2-(2-pyridinyl)ethenyl]- (CA INDEX NAME)

RN 869107-74-4 CAPLUS

CN Benzamide, 4-[(1E)-2-(6-chloro-3-pyridinyl)ethenyl]-N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-75-5 CAPLUS

CN Benzamide, 4-[(1E)-2-(6-fluoro-2-pyridinyl)ethenyl]-N-[3-methyl-2-(tetrahydro-3-furanyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-76-6 CAPLUS

CN Benzamide, 4-[(1E)-2-(6-fluoro-2-pyridinyl)ethenyl]-N-[2-(1-hydroxy-1-methylethyl)-3-methylimidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 869107-77-7 CAPLUS

CN Benzamide, 4-[(1E)-2-(5-fluoro-2-pyridinyl)ethenyl]-N-[3-methyl-2-(tetrahydro-3-furanyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-78-8 CAPLUS

CN Benzamide, 4-[(1E)-2-(5-fluoro-2-pyridinyl)ethenyl]-N-[2-(1-hydroxy-1-methylethyl)-3-methylimidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-79-9 CAPLUS

CN Benzamide, 4-[(1E)-2-(5-fluoro-2-pyridinyl)] ethenyl]-N-[2-(methoxymethyl)-3-methylimidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 869107-80-2 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(1E)-2-(2-thiazolyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-81-3 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(1Z)-2-fluoro-2-(2-pyridinyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-82-4 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(1Z)-1-fluoro-2-(2-pyridinyl)ethenyl]- (CA INDEX NAME)

RN 869107-84-6 CAPLUS

CN Benzamide, 4-[(1Z)-1-fluoro-2-(2-pyridinyl)ethenyl]-N-[3-methyl-2-(tetrahydro-3-furanyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-86-8 CAPLUS

CN Benzamide, 4-[(1E)-1,2-difluoro-2-(2-pyridinyl)ethenyl]-N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-87-9 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(1E)-1-fluoro-2-(2-pyridinyl)ethenyl]- (CA INDEX NAME)

RN 869107-88-0 CAPLUS

CN Benzamide, N-[2-(3-hydroxypropyl)-3-methylimidazo[1,2-a]pyridin-6-yl]-4-[(1E)-2-(2-pyridinyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-89-1 CAPLUS

CN Benzamide, N-[2-(3-hydroxy-3-methylbutyl)-3-methylimidazo[1,2-a]pyridin-6-yl]-4-[(1E)-2-(2-pyridinyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-90-4 CAPLUS

CN Benzamide, N-[3-methyl-2-(1-pyrrolidinylmethyl)imidazo[1,2-a]pyridin-6-yl]-4-[(1E)-2-phenylethenyl]- (CA INDEX NAME)

RN 869107-91-5 CAPLUS

CN Benzamide, N-[3-methyl-2-[3-(1-pyrrolidinyl)propyl]imidazo[1,2-a]pyridin-6-yl]-4-[(1E)-2-(2-pyridinyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 869107-92-6 CAPLUS

CN Benzamide, N-[3-methyl-2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]-4-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

RN 869107-93-7 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-3-fluoro-4-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{Me} \\ \hline CH_2-CH_2 & \hline C-NH & N \end{array}$$

RN 869107-94-8 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(2-phenylethyl)- (CA INDEX NAME)

RN 869107-95-9 CAPLUS

CN 1,3,4-Thiadiazole-2-carboxamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-y1)-5-(2-phenylethyl)- (CA INDEX NAME)

 $Ph-CH_2-CH_2$ 

RN 869107-96-0 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[2-oxo-2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

RN 869107-97-1 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[2-hydroxy-2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

RN 869107-98-2 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[2-fluoro-2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

RN 869107-99-3 CAPLUS

CN Benzamide, 4-[2,2-difluoro-2-(2-pyridinyl)ethyl]-N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

RN 869108-00-9 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[1-hydroxy-2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

RN 869108-01-0 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[1-fluoro-2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

RN 869108-02-1 CAPLUS

CN Benzamide, N-[3-methyl-2-(tetrahydro-3-furanyl)imidazo[1,2-a]pyridin-6-yl]-4-[(2-pyridinylmethyl)thio]- (CA INDEX NAME)

RN 869108-03-2 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(phenylmethyl)amino]- (CA INDEX NAME)

RN 869108-04-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[4-[[(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)amino]carbonyl]phenyl]- (CA INDEX NAME)

RN 869108-05-4 CAPLUS

CN Benzamide, N-[3-methyl-2-[(1R,2R)-2-methylcyclopropyl]imidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinyloxy)-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 869108-06-5 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(3-pyridinyloxy)- (CA INDEX NAME)

RN 869108-07-6 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(5-fluoro-2-pyridinyl)oxy]- (CA INDEX NAME)

RN 869108-08-7 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(6-fluoro-2-pyridinyl)oxy]- (CA INDEX NAME)

RN 869108-09-8 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[(3-fluoro-2-pyridinyl)oxy]- (CA INDEX NAME)

RN 869108-10-1 CAPLUS

CN Benzamide, 4-[(4-chloro-2-pyridinyl)oxy]-N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

RN 869108-11-2 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[[4-(methylthio)-2-pyridinyl]oxy]- (CA INDEX NAME)

RN 869108-12-3 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[[5-(trifluoromethyl)-2-pyridinyl]oxy]- (CA INDEX NAME)

RN 869108-13-4 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[[3-(trifluoromethyl)-2-pyridinyl]oxy]- (CA INDEX NAME)

RN 869108-14-5 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[[4-(trifluoromethyl)-2-pyridinyl]oxy]- (CA INDEX NAME)

RN 869108-15-6 CAPLUS

CN Benzamide, 4-[(6-chloro-3-pyridazinyl)oxy]-N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

RN 869108-16-7 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-6-phenoxy- (CA INDEX NAME)

RN 869108-17-8 CAPLUS

CN 2-Pyrimidinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-5-phenoxy- (CA INDEX NAME)

RN 869108-18-9 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(phenylsulfonyl)- (CA INDEX NAME)

RN 869108-20-3 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(2-

phenylethoxy)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 869108-19-0 CMF C26 H25 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

$${\tiny \begin{array}{c}F\\F-C-CO_2H\\|\\F\end{array}}$$

RN 869108-22-5 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(2-phenoxyethoxy)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 869108-21-4 CMF C26 H25 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 869108-24-7 CAPLUS

CN 1H-Indole-2-carboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-

yl)-5-(2-pyridinylmethoxy)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 869108-23-6 CMF C26 H23 N5 O2

$$\begin{array}{c|c} & & & \\ & & \\ N & \\ & & \\ C & NH & \\ & & \\ N & \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 869108-25-8 CAPLUS

CN 3-Pyrrolidinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-1-(phenylmethyl)- (CA INDEX NAME)

RN 869108-26-9 CAPLUS

CN Benzamide, N-(2-ethyl-3-methylimidazo[1,2-a]pyridin-6-yl)-3-fluoro-4-[(2-pyridinyloxy)methyl]- (CA INDEX NAME)

RN 869212-68-0 CAPLUS

CN Benzamide, N-[2-(3-hydroxy-3-methylcyclobutyl)-3-methylimidazo[1,2-a]pyridin-6-yl]-4-[(1E)-2-(2-pyridinyl)ethenyl]- (CA INDEX NAME)

IT 869109-57-9P 869109-67-1P 869109-70-6P

869109-71-7P 869109-72-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazopyridine derivs. as antagonists of melanin concentrating  $\ensuremath{\mathsf{C}}$ 

hormone receptor)

RN 869109-57-9 CAPLUS

CN 2-Propenoic acid, 3-[3-methyl-6-[[4-(2-pyridinylmethoxy)benzoyl]amino]imid azo[1,2-a]pyridin-2-yl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 869109-67-1 CAPLUS

CN Benzamide, N-[3-methyl-2-(3-oxopropyl)imidazo[1,2-a]pyridin-6-yl]-4-(2-pyridinylmethoxy)- (CA INDEX NAME)

RN 869109-70-6 CAPLUS

CN Imidazo[1,2-a]pyridine-2-propanoic acid, 3-methyl-6-[[4-[(1E)-2-(2-pyridinyl)ethenyl]benzoyl]amino]-, ethyl ester (CA INDEX NAME)

RN 869109-71-7 CAPLUS

CN Benzamide, N-[3-methyl-2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]-4-[2-(2-pyridinyl)ethenyl]- (CA INDEX NAME)

RN 869109-72-8 CAPLUS

CN Carbamic acid, [4-[[(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)amino]carbonyl]phenyl](phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:696877 CAPLUS

DOCUMENT NUMBER: 143:211847

TITLE: Preparation of heteroaryl substituted naphthalenes as

inhibitors of Lck, VEGFR and/or HGF related activity
INVENTOR(S): Potashman, Michele; Kim, Tae-Seong; Bellon, Steven;
Booker, Shon; Cheng, Yuan; Kim, Joseph L.; Tasker,

Andrew; Xi, Ning; Xu, Shimin; Harmange,

Jean-Christophe; Borg, George; Weiss, Matthew; Hodous, Brian L.; Graceffa, Russell; Buckner, Willian H.; Masse, Craig E.; Choquette, Deborah; Martin, Matthew W.; Germain, Julie; Dipietro, Lucian V.; Chaffee, Stuart C.; Nunes, Joseph J.; Buchanan, John L.; Habgood, Gregory J.; McGowan, David C.; Whittington,

Douglas A.

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 444 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	KIND DATE						DATE												
WO									WO 2005-US2326										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB	, BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
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OTHER SOURCE(S): MARPAT 143:211847

GI

AB The title compds. I [R1XAYR; R = (un)substituted aryl, heterocyclyl, cycloalkyl, etc.; R1 = (un)substituted quinolinyl, quinazolinyl, pyrimidinyl, etc.; A = (un)substituted naphthalenediyl, etc.; X = 0, S, (un)substituted NH, CH2; Y = NHCO, CONH, etc.] which are effective for prophylaxis and treatment of diseases, such as HGF mediated diseases, were prepared E.g., a multi-step synthesis of II, starting from 6-hydroxy-2-naphthoic acid, was given. The compds. I showed inhibition of LcK kinase, c-Met kinase, and VEGFR kinase at less than 10  $\mu M$ . The invention encompasses novel compds. I, analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutically compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like.

II

IT 861874-98-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of heteroaryl substituted naphthalenes as inhibitors of Lck, VEGFR and/or HGF related activity)

RN 861874-98-8 CAPLUS

CN 1-Naphthalenecarboxamide, N-[2-(1,1-dimethylethyl)imidazo[1,2-a]pyridin-6-yl]-6-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinolinyl]oxy]- (CA INDEX NAME)

L4 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:158669 CAPLUS

DOCUMENT NUMBER: 142:261536

TITLE: Preparation of imidazopyridine derivatives as

melanin-concentrating hormone receptor antagonists

INVENTOR(S): Kishino, Hiroyuki; Moriya, Minoru; Sakamoto,

Toshihiro; Takahashi, Hidekazu; Sakuraba, Shunji;

Suzuki, Takao; Kanatani, Akio

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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P	PATENT NO.					KIND DATE				APPL							
WC	2005	A1 20050224															
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	KΖ,	$ ext{MD}$ ,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	ΝL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
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OTHER S	OTHER SOURCE(S):					PAT	142:	2615	36								

AB Title compds. I [R1, R2 = H, halo, etc., further detail on R1, R2 is given; R3 = H, halo, etc.; R4 = H, alkyl; W = single bond, etc.; Ar = optionally substituted aromatic ring, etc. with R7; R7 = halo, etc.] were prepared For example, Pd-catalyzed hydrogenation of 2-isopropyl-6-nitroimidazo[1,2-a]pyridine hydrobromide followed by HATU-mediated acylation with 4'-fluoro-1,1'-biphenyl-4-carboxylic acid afforded compound II. In MCH (Melanin Concentrating Hormone) binding inhibition assays, the IC50 value of compound II was 3.1 nM. Compds. I are claimed useful for the treatment of obesity, diabetes, etc.

IT 845826-07-5P 845826-38-2P 845826-50-8P 845826-64-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of imidazopyridine derivs. as melanin-concentrating hormone receptor

antagonists for treatment of obesity, diabetes, etc.)

RN 845826-07-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(2,3-dimethylimidazo[1,2-a]pyridin-6-yl)-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-38-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(hydroxymethyl)-3-methylimidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-50-8 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(2-cyclopropylimidazo[1,2-a]pyridin-6-yl)-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-64-4 CAPLUS

RN

CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methyl-2-(methylamin)imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

845826-04-2P 845826-06-4P 845826-08-6P TΤ 845826-10-0P 845826-11-1P 845826-12-2P 845826-13-3P 845826-14-4P 845826-15-5P 845826-16-6P 845826-17-7P 845826-18-8P 845826-19-9P 845826-20-2P 845826-21-3P 845826-22-4P 845826-23-5P 845826-24-6P 845826-25-7P 845826-26-8P 845826-27-9P 845826-28-0P 845826-29-1P 845826-30-4P 845826-31-5P 845826-32-6P 845826-33-7P 845826-34-8P 845826-35-9P 845826-36-0P 845826-37-1P 845826-39-3P 845826-40-6P 845826-41-7P 845826-42-8P 845826-43-9P 845826-45-1P 845826-46-2P 845826-47-3P 845826-48-4P 845826-49-5P 845826-51-9P 845826-52-0P 845826-53-1P 845826-54-2P 845826-55-3P 845826-56-4P 845826-57-5P 845826-58-6P 845826-59-7P 845826-60-0P 845826-61-1P 845826-62-2P 845826-63-3P 845826-65-5P 845826-66-6P 845826-67-7P 845826-68-8P 845826-69-9P 845826-70-2P 845826-71-3P 845826-72-4P 845826-73-5P 845826-74-6P 845826-75-7P 845826-76-8P 845826-77-9P 845826-78-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of imidazopyridine derivs. as melanin-concentrating hormone

(preparation of imidazopyridine derivs. as melanin-concentrating hormone receptor

antagonists for treatment of obesity, diabetes, etc.) 845826-04-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 845826-06-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-fluoro-N-[2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 845826-08-6 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(3-methylimidazo[1,2-a]pyridin-6-yl)-4'- (trifluoromethyl)- (CA INDEX NAME)

RN 845826-10-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4'-(trifluoromethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 845826-11-1 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[5-(trifluoromethyl)-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

## ● HC1

RN 845826-12-2 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[6-(trifluoromethyl)-3-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

## HC1

RN 845826-13-3 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(6-fluoro-3-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)

## ● HCl

RN 845826-14-4 CAPLUS

CN Benzamide, 4-(5-chloro-2-pyridinyl)-N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

RN 845826-15-5 CAPLUS

CN 2-Pyrimidinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-5-(4-fluorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 845826-16-6 CAPLUS

CN 2-Pyrimidinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-5-(3-fluorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 845826-17-7 CAPLUS

CN 2-Pyrazinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-5-(4-fluorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 845826-18-8 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-5-(4-fluorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

## ● HCl

- RN 845826-19-9 CAPLUS
- CN 3-Pyridinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-6-(4-fluorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

## ● HCl

- RN 845826-20-2 CAPLUS
- CN Benzamide, N-[3-methyl-2-(tetrahydro-3-furanyl)imidazo[1,2-a]pyridin-6-yl]-4-[5-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

- RN 845826-21-3 CAPLUS
- CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(2-pyridinyl)- (CA INDEX NAME)

- RN 845826-22-4 CAPLUS
- CN Benzenepropanamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-23-5 CAPLUS

CN 3-Pyridinepropanamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-6-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-24-6 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[5-(difluoromethoxy)-2-pyridinyl]- (CA INDEX NAME)

RN 845826-25-7 CAPLUS

CN [2,3'-Bipyridine]-6'-carboxamide, 5-chloro-N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

RN 845826-26-8 CAPLUS

CN 4-Piperidinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-1-(4-fluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & Me \\ \hline C-NH & N & N \\ \hline \end{array}$$

RN 845826-27-9 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[6-

(difluoromethyl)-3-pyridinyl]- (CA INDEX NAME)

RN 845826-28-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-3-fluoro-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-29-1 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4'-(methylsulfonyl)- (CA INDEX NAME)

RN 845826-30-4 CAPLUS

CN Benzamide, 4-(6-chloro-3-pyridazinyl)-N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

RN 845826-31-5 CAPLUS

CN [2,3'-Bipyridine]-6'-carboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

RN 845826-32-6 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-5-(1H-pyrrol-1-yl)- (CA INDEX NAME)

RN 845826-33-7 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(5-methoxy-2-pyridinyl)- (CA INDEX NAME)

RN 845826-34-8 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 845826-35-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(1-hydroxy-1-methylethyl)imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-36-0 CAPLUS

CN Benzamide, N-[2-(1-hydroxy-1-methylethyl)-3-methylimidazo[1,2-a]pyridin-6-yl]-4-[5-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 845826-37-1 CAPLUS

CN Benzamide, 4-(5-chloro-2-pyridinyl)-N-[2-(1-hydroxy-1-methylethyl)-3-methylimidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 845826-39-3 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(2,3-dimethylimidazo[1,2-a]pyridin-6-yl)-N-methyl-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-40-6 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-cyclopropyl-3- (hydroxymethyl)imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-41-7 CAPLUS

CN Benzamide, 4-(5-chloro-2-pyridinyl)-N-[2-cyclopropyl-3-(hydroxymethyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 845826-42-8 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methyl-2-[(2-oxo-1-pyrrolidinyl)methyl]imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-43-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(acetylmethylamino)methyl]-3-methylimidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-45-1 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(dimethylamino)methyl]-3-methylimidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 845826-44-0 CMF C25 H23 F3 N4 O

$$\begin{array}{c|c} & \text{Me} \\ & \text{C} \\ & \text{N} \\ & \text{N} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 845826-46-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(acetylmethylamino)-3-

methylimidazo[1,2-a]pyridin-6-y1]-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-47-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-hydroxy- (CA INDEX NAME)

RN 845826-48-4 CAPLUS

CN Benzenepropanamide, N-[2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{F}_3\text{C} & \text{O} & \text{Pr-i} \\ \hline \text{CH}_2\text{-CH}_2\text{-C-NH} & \text{N} & \text{N} \end{array}$$

RN 845826-49-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(methoxymethyl)-3-methylimidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-51-9 CAPLUS

CN Benzamide, N-[2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]-4-[5-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 845826-52-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(2-methylimidazo[1,2-a]pyridin-6-yl)-4'- (trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 845826-53-1 CAPLUS

CN 1H-1,2,4-Triazole-3-carboxamide, 1-(4-fluorophenyl)-N-[2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 845826-54-2 CAPLUS

CN 2-Pyrimidinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

$$F3C \qquad \qquad N \qquad C-NH \qquad N \qquad N$$

RN 845826-55-3 CAPLUS

CN 2-Pyrimidinecarboxamide, 5-(4-fluorophenyl)-N-[2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 845826-56-4 CAPLUS

CN 2-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-[2-(1-methylethyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 845826-57-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(1-hydroxyethyl)imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

- RN 845826-58-6 CAPLUS
- CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(hydroxymethyl)imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

- RN 845826-59-7 CAPLUS
- CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(acetylmethylamino)methyl]imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ \hline \\ \text{C} \\ \hline \\ \text{N} \\ \end{array}$$

- RN 845826-60-0 CAPLUS
- CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(dimethylamino)methyl]imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

- RN 845826-61-1 CAPLUS
- CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(5-methyl-1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)

RN 845826-62-2 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[2-(trifluoromethyl)-5-pyrimidinyl]- (CA INDEX NAME)

RN 845826-63-3 CAPLUS

CN 2-Pyrimidinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-5-(2,4-difluorophenyl)- (CA INDEX NAME)

RN 845826-65-5 CAPLUS

CN 2-Pyrimidinecarboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-5-(6-fluoro-3-pyridinyl)- (CA INDEX NAME)

RN 845826-66-6 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(2-cyclopropyl-3-ethylimidazo[1,2-a]pyridin-6-yl)-4'-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 845826-67-7 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methyl-2-[(2-oxo-1(2H)-pyridinyl)methyl]imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-68-8 CAPLUS

CN Acetamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-2-[4-(trifluoromethyl)phenoxy]- (CA INDEX NAME)

RN 845826-69-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[3-(hydroxymethyl)-2-methylimidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845826-70-2 CAPLUS

CN Benzamide, N-(2-cyclopentyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-(2-pyridinyl)- (CA INDEX NAME)

RN 845826-71-3 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[6-(dimethylamino)-3-pyridinyl]- (CA INDEX NAME)

RN 845826-72-4 CAPLUS

CN [2,3'-Bipyridine]-6'-carboxamide, 5-chloro-N-[2-cyclopropyl-3-

(methoxymethyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 845826-73-5 CAPLUS

CN Cyclohexanecarboxamide, 4-(5-chloro-2-pyridiny1)-N-(2-cyclopropy1-3-methylimidazo[1,2-a]pyridin-6-yl)-4-hydroxy-, cis- (CA INDEX NAME)

Relative stereochemistry.

RN 845826-74-6 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(2-propylimidazo[1,2-a]pyridin-6-yl)-4'- (trifluoromethyl)- (CA INDEX NAME)

RN 845826-75-7 CAPLUS

CN Benzamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-[5-(trifluoromethyl)-2-pyrimidinyl]- (CA INDEX NAME)

RN 845826-76-8 CAPLUS

CN 1H-1,2,4-Triazole-3-carboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-1-(4-fluorophenyl)- (CA INDEX NAME)

RN 845826-77-9 CAPLUS

CN Cyclohexanecarboxamide, 4-(4-chlorophenyl)-N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

RN 845826-78-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-2-methyl-4'-(trifluoromethyl)- (CA INDEX NAME)

IT 845827-07-8P 845827-08-9P 845827-09-0P

845827-10-3P 845827-11-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazopyridine derivs. as melanin-concentrating hormone receptor

antagonists for treatment of obesity, diabetes, etc.)

RN 845827-07-8 CAPLUS

CN Imidazo[1,2-a]pyridine-2-carboxylic acid, 6-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

RN 845827-08-9 CAPLUS

CN Imidazo[1,2-a]pyridine-2-carboxylic acid, 3-methyl-6-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]carbonyl]amino]-, methyl ester (CA INDEX NAME)

RN 845827-09-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(chloromethyl)-3-methylimidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)- (CA INDEX NAME)

RN 845827-10-3 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methyl-2-[methyl(2,2,2-trifluoroacetyl)amino]imidazo[1,2-a]pyridin-6-yl]-4'-(trifluoromethyl)-(CA INDEX NAME)

8

RN 845827-11-4 CAPLUS

CN Carbamic acid, (2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-, phenyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:60487 CAPLUS

DOCUMENT NUMBER: 140:111431

TITLE: Preparation of (2R,5S)-dimethylpiperazine derivatives

for treatment of prostate cancer

INVENTOR(S): Taniguchi, Nobuaki; Imamura, Masakazu; Hayakawa,

Masahiko; Kawaguchi, Kenichi; Kimura, Takenori; Kinoyama, Isao; Kaizawa, Hiroyuki; Okada, Minoru;

Furutani, Takashi

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

I	PA]	CENT 1	NO.			KIND DATE					APPL	ICAT		DATE					
7	wo	2004	0074	 71		A1 20040122				WO 2	003-	JP88		2	0030	711			
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	$\mathrm{DM}$ ,	DΖ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
	GM, HR, HU,					ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	
	LT, LU, LV,				MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PG,		
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	
			TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
			KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
			FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG	
(	CA	2492	138			A1		2004	0122		CA 2	003-	2492	138		2	0030	711	
Ž	ΑU	2003	2480.	52		A1		2004	0202		AU 2	003-	2480	20030711					
I	ΕP	1557	411			A1		2005	0727		EP 2	003-	7641	79		2	0030	711	
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK		
Ţ	US	2005	0261	303		A1		2005	1124		US 2	005-	5211	19		2	0050	112	
τ	US 7297698							20071120											
PRIOR:	CIORITY APPLN. INFO.:										JP 2002-203690					A 2	0020	712	
										WO 2003-JP8860				60	W 20030711				
GI																			

AΒ

formula of I [wherein R1 = C1, F, Br, CN, Me, CF3, or alkoxy; R2 = H, F, or MeO; R3 = H or alkyl; Cy = (un)substituted Ph, pyridyl, pyrimidinyl, imidazopyridinyl, benzopyrazinyl, quinoxalinyl, quinolinyl, benzothiazolyl, isoquinolinyl, benzothiadiazolyl, indolidinyl, or tetrahydrobenzofuranyl; with exclusions] or salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of 40 nM against transcription activation in rat. I are useful for the treatment of prostate cancer, prostate gland enlargement, etc.

IT 648422-85-9P 648423-14-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of dimethylpiperazine derivs. for treatment of prostate cancer)

RN 648422-85-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-2,5-dimethyl-N-(2-methylimidazo[1,2-a]pyridin-6-yl)-, (2R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-14-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-imidazo[1,2-a]pyridin-6-yl-2,5-dimethyl-, hydrochloride (1:1), (2R,5S)-(CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:20024 CAPLUS

DOCUMENT NUMBER: 140:87673

TITLE: Polymer-linked imidazopyridines useful as

tumor-targeting cytotoxic agents

INVENTOR(S): Kasuya, Hiroshi; Miyazaki, Hideki; Hayakawa, Ichio;

Kanno, Yuichi; Watanabe, Kazuyoshi

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 272 pp.

Ι

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004002826	A	20040108	JP 2003-115328	20030421
PRIORITY APPLN. INFO.:			JP 2002-121653 A	20020424
OTHER SOURCE(S):	MARPAT	140:87673		

GI

AB Claimed are polymer-linked imidazopyridines represented by POLYMER-LINKER-IPD, wherein POLYMER is selected from synthetic vinyl polymers, synthetic polypeptides, synthetic polyesters, polyethers, natural polymers, modified natural polymers, or block or graft copolymers comprising the polymers as constituent units; LINKER is selected from amino acids, peptides, and a single bond; and IPD indicates imidazopyridines I [R1 = R9X2; R9 = H, (substituted) alkyl, (substituted) cycloalkyl, (substituted) aryl, (substituted) heterocyclyl, (substituted) aralkyl, (substituted) arylalkenyl, carbamoyl; X2 = single bond, CO, OCO, NHCO, SO2; R2 = H; R3-R8 = halo, cyano, nitro, etc.; X1 = O, S, NH; excluding the compound where R1 = R2 = R3 = R5 = R6 = R7 = R8 = H, R4 = Me, and X1 = S], or their pharmacol. acceptable salts. (4-Methoxyphenyl) [4-(2-methylimidazo[1,2- $\alpha$ ]pyridin-3-yl)thiazol-2-yl]amine showed cytotoxicity against HeLa, U-937, CaSKi, and HL-60 cells with ED50 of 1.8, 1.2, 1.6, and 20 ng/mL, resp. Mice bearing Lewis lung carcinoma were administered i.v. with polyethylene glycol Me 2-[N-[4-(6-chloro-2methylimidazo[1,2- $\alpha$ ]pyridin-3-yl)thiazol-2-yl]-N-(4methoxyphenyl)carbamoylmethylaminocarbonyloxy]ethyl ether (preparation given) at 40 mg-imidazopyridine derivative/kg. The concns. of the imidazopyridine derivative in the tumor cells of the mice were 7.71 and  $6.24~\mu g/mL$  5 and 24 h after the i.v. administration, resp.

IT 420128-13-8P 420128-16-1P 420128-76-3P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation of polymer-linked imidazopyridines for tumor-targeting cytotoxic agents)

RN 420128-13-8 CAPLUS

CN Carbamic acid, [4-[[3-[2-[acetyl(6-methoxy-3-pyridinyl)amino]-4-thiazolyl]-2-methylimidazo[1,2-a]pyridin-6-yl]amino]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 420128-16-1 CAPLUS

CN Carbamic acid, [4-[[3-[2-[(6-methoxy-3-pyridinyl)amino]-4-thiazolyl]-2-methylimidazo[1,2-a]pyridin-6-yl]amino]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 420128-76-3 CAPLUS

CN Butanamide, 4-amino-N-[3-[2-[(6-methoxy-3-pyridinyl)amino]-4-thiazolyl]-2-methylimidazo[1,2-a]pyridin-6-yl]-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HCl

ANSWER 18 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN T. 4

2003:868091 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 139:358739

Pharmaceuticals containing imidazopyridines for TITLE:

prophylactic and therapeutic treatment of tumor Hayakawa, Ichio; Kanno, Yuichi; Azuma, Toshiki;

Furukawa, Hidehiko; Naruto, Shunji; Kurakata, Shinichi

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 148 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

INVENTOR(S):

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
JP 2003313126	A	20031106	JP 2002-120000	20020423		
PRIORITY APPLN. INFO.:			JP 2002-120000	20020423		
OTHER SOURCE(S):	MARPAT	139:358739				

GΙ

Ι

 $R^1$ R8

AΒ Title pharmaceuticals contain imidazopyridines I [R1, R2 = R9X2; R9 = H, C1-6 (un) substituted alkyl, C3-8 (un) substituted cycloalkyl, (un) substituted aryl, etc.; X2 = bond, CO, O2C, NHCO, SO2; R3-R8 = halo, cyano, NO2, etc.] or their pharmacol. acceptable salts as active ingredients. Thus, refluxing 2-bromo-1-(2-methylimidazo[1,2- $\alpha$ ]pyridin-3-yl)ethanone with (4-methoxyphenyl)thiourea gave  $(4-methoxyphenyl)[4-(2-methylimidazo[1,2-\alpha]pyridin-3-yl)thiazol-2$ yl]amine, which inhibited growth of HeLa cells with ED50 value of 1.8 ng/mg.

420128-13-8P 420128-16-1P 420128-76-3P ΙT RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyridines as antitumor agents)

420128-13-8 CAPLUS RN

CN Carbamic acid, [4-[[3-[2-[acetyl(6-methoxy-3-pyridinyl)amino]-4-thiazolyl]-2-methylimidazo[1,2-a]pyridin-6-yl]amino]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 420128-16-1 CAPLUS

CN Carbamic acid, [4-[[3-[2-[(6-methoxy-3-pyridinyl)amino]-4-thiazolyl]-2-methylimidazo[1,2-a]pyridin-6-yl]amino]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 420128-76-3 CAPLUS

CN Butanamide, 4-amino-N-[3-[2-[(6-methoxy-3-pyridinyl)amino]-4-thiazolyl]-2-methylimidazo[1,2-a]pyridin-6-yl]-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HCl

L4 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:5951 CAPLUS

DOCUMENT NUMBER: 138:73265

TITLE: Preparation of (pyrimidyl) (phenyl) substituted fused

heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compounds with therapeutic uses

INVENTOR(S): Biftu, Tesfaye; Colletti, Steven L.; Mcintyre, Charles

J.; Schmatz, Dennis M.; Feng, Dennis D.; Doherty, James B.; Liang, Gui-Bai; Liverton, Nigel J.; Beresis,

James B.; Liang, Gui-Bai; Liverton, Nigel J.; Beresis, Richard; Berger, Richard; Claremon, David A.; Kovacs,

Ernest W.; Qian, Xiaoxia

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 280 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAI	ENT 1	70.			KIND DATE					APP	LICAT		DATE					
	WO	2003	0006	82		A1	_	2003	20030103			2002-	US19	 507	20020621				
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	ΜW	, мх,	MΖ,	NO,	NZ,	OM,	PH,	PL,	
	PT, RO, RU				RU,	SD,	SE,	SG,	SI,	SK,	SL	, TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	
	UG, US, U		UΖ,	VN,	YU,	ZA,	ZM,	ZW											
		RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,	
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE	, IT,	LU,	MC,	NL,	PT,	SE,	TR,	
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ	, GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
	CA	2450.	555			A1		2003	0103		CA	2002-	2450	20020621					
	AU	2002	3222	73		A1		2003	0108		AU	2002-	3222	73		2	0020	621	
	US 20040176396					A1		2004	0909		US	2003-	4773	67		2	0031	112	
	US 7196095					В2		2007	0327										
PRIOR	RIORITY APPLN. INFO.:										US	2001-	3007	48P	]	P 2	0010	625	
											WO	2002-	US19	507	7	W 2	0020	621	

OTHER SOURCE(S): MARPAT 138:73265

GΙ

AB (pyrimidyl) (phenyl) substituted fused heteroaryl compds. (shown as I; variables define below; e.g. (2-(4-fluorophenyl)-3-(2-[((S)-1-phenylethyl) amino]pyrimidin-4-yl) imidazo[1,2-a]pyridin-7-yl) methanol) and

pharmaceutically acceptable salts thereof are useful in the treatment of cytokine mediated diseases such as arthritis and in the treatment and/or prevention of protozoal diseases such as coccidiosis. I suppress TNF- $\alpha$  in monocytes and also IL-1 $\beta$ , IL-6 and PGE2 production with IC50 <5  $\mu M$ . The 'Fused Het' in I may be optionally substituted radicals derived from imidazo[1,2-a]pyridine, imidazo[1,2-a]pyrimidine, imidazo[2,1-b]thiazole, benzimidazole, etc. R1 is H, -C1-6alkyl, -C(0)(C1-6alkyl), -C(0)-C1-6-alkylaryl, -C0-4alkylaryl, -C0-4alkylindanyl, -C0-4alkylimidazolyl, -C0-4alkylthiazolyl, -C0-4alkylpyrazolyl, -C0-4alkyloxadiazolyl, -C0-4-alkyl-C3-6-cycloalkyl, -C0-4alkyl-C1-4alkoxy, -C1-4-alkyl-N(C0-4-alkyl) (-C0-4-alkyl), -C1-4-alkyl-N(-C0-4alkyl)-A(-C0-4alkyl)CO-C1-4-alkoxy, -C1-4-alkylpiperidinyl, -C0-4alkyltriazolyl, -C1-4-alkylimidazothiazolyl, -C1-4-alkylbenzimidazolyl, -C1-4-alkylbenzothiazolyl, -C1-4-alkylbenzotetrahydrofuranyl, -C1-4-alkylbenzodioxolyl, -C1-4-alkyl-(heterocycloC402alkyl), -C1-4-alkyl-(heterocycloC501alkyl), -C1-4-alkyltetrahydrofuran, or -C1-4-alkyloxetanyl; R11 is H or -C1-6-alkyl; or R1 and R11, together with the N to which they are attached, form a morpholinyl; R2, R21, R22 each independently is H, halogen, or -C1-4alkyl;. Although the methods of preparation are not claimed, many example prepns. are included. 480455-43-4P, Benzyl [2-(3-trifluoromethylphenyl)-3-[2-[((S)-1phenylethyl)amino]pyrimidin-4-yl]imidazo[1,2-a]pyridin-6-yl]carbamate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (pyrimidyl) (phenyl) substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compds. with therapeutic uses)

RN 480455-43-4 CAPLUS

ΙT

CN Carbamic acid, [3-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-2-[3-(trifluoromethyl)phenyl]imidazo[1,2-a]pyridin-6-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:408648 CAPLUS

DOCUMENT NUMBER: 137:6176

TITLE: Preparation of aromatic acid derivatives useful as

serine protease inhibitors

INVENTOR(S): Bisacchi, Gregory S.; Sutton, James C., Jr.;

Slusarchyk, William A.; Treuner, Uwe D.; Zhao, Guohua;

Cheney, Daniel L.; Wu, Shung C.; Shi, Yan

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 182 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA:	TENT :	NO.			KIN		DATE				ICAT		DATE				
_		-	-		A2 20020530 A3 20020829												
		AE, CO, GM, LS,	AG, CR, HR, LT,	AL, CU, HU, LU,	AM, CZ, ID, LV,	AT, DE, IL, MA,	AU, DK, IN, MD, SG,	AZ, DM, IS, MG,	DZ, JP, MK,	EC, KE, MN,	EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, PH,	GH, LR, PL,
	RW:	US, GH, DE,	UZ, GM, DK,	VN, KE, ES,	YU, LS, FI,	ZA, MW, FR,	ZW MZ, GB,	SD, GR,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE, SE,	CH, TR,	CY,
AU	2002	191 0272	69		CI, CM, GA, GN, A1 20020530 A 20020603 A2 20030806					CA 2 AU 2	001- 002-		20011107 20011107				
	R:	AT, IE,	BE, SI,	CH, LT,	DE, LV,	DK, FI,	ES, RO,	FR, MK,	GB, CY,	GR, AL,	IT, TR	LI,	LU,	NL,	SE,	MC,	PT,
HU	JP 2004514669 HU 2004000651 RIORITY APPLN. INFO.:										004- 000-	651 2463:	92P	1	2 P 2	0011 0011 0001 0011	107 107
OTHER SO	THER SOURCE(S):						MARPAT 137:6176										_ 0 ,

GI

$$\begin{array}{c|c}
R & B \\
\hline
 & B \\
\hline
 & CO_2R^3 \\
\hline
 & Z \\
\hline
 & R^2 \\
\hline
 & I
\end{array}$$

AΒ Aromatic compds. I, are useful as serine protease inhibitors, wherein ring B is Ph or pyridyl; W is amide, alkyl, alkenyl, heterocycle, heteroaryl, aryl, cycloalkyl; L is a linker group; X is N, CH, or C, provided that X is C when R1 and R2 join to form a fully unsatd. ring; Z is an optionally-substituted monocyclic or bicyclic ring system; R is H, alkoxy, amine, alkyl, alkenyl, halogen, haloalkyl, cyano, nitro, alkylthio, CHO, acyl, CO2H, alkoxycarbonyl, sulfonamido, sulfonyl, Ph; R1 and R2 (i) are independently selected from hydrogen, alkyl, alkenyl, heteroaryl, aryl, heterocycle, and cycloalkyl; or (ii) are taken together to form an aryl, heteroaryl, cycloalkyl, or heterocycle, provided that R1 and R2 do not together form pyrazole when W is methoxy and Z is biphenyl; and when R1 and R2 individually or together form a heteroaryl, aryl, heterocycle, cycloalkyl; R3 is hydrogen, alkyl, substituted alkyl, heteroaryl, aryl, heterocycle, cycloalkyl, or alkyl substituted with -OC(0)R4 or -OC(0)OR4, wherein R4 is alkyl, cycloalkyl, provided that R3 is not Ph when  $\mbox{W}$  is methoxy. Thus, II was prepared for treating a coagulation-associated disorder, an inflammatory or immune disease, or metastases (no data). Included within the scope of the invention are pharmaceutical compns. for treating a serine protease disease, an inflammatory or immune condition, or cancer. ΙT 431049-52-4P

II

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aromatic acid derivs. useful as anti-inflammatory, anticoagulant, antitumor, immunomodulator agents and serine protease inhibitors)

RN 431049-52-4 CAPLUS

CN Benzoic acid, 2-[2-[[(2-aminoimidazo[1,2-a]pyridin-6-y1)amino]carbonyl]-6-methoxy-3-pyridinyl]-5-[[(2,2-dimethylpropyl)amino]carbonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ & \text{N} & \text{O} \\ & \text{N} & \text{N} \\ & \text{C-NH-CH}_2\text{-CMe}_3 \\ & \text{O} \end{array}$$

L4 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:332191 CAPLUS

DOCUMENT NUMBER: 136:355236

TITLE: Preparation of imidazopyridine derivatives as

antitumor agents

INVENTOR(S): Hayakawa, Ichiro; Sugano, Yuichi; Agatsuma, Toshinori;

Furukawa, Hidehiko; Kurakata, Shinichi; Naruto, Shunji

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 371 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PA:	CENT 1	ΝΟ.			KIND DATE				A	PP1	LICAT		DATE				
	WO	2002	0347	 48		A1 20020502				W	0 2	2001-		20011022				
	W: AU, BR, CA,				,	•	•	HU,	ID,	ΙL,	, IN,	KR,	MX,	NO,	NΖ,	PH,	PL,	
			RU,	SG,	SK,	US,	VN,	ZA										
	RW: AT, BE, CH,				CY,	DE,	DK,	ES,	FI,	FR,	, GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	
			PT,	SE,	TR													
	ΑU	2001	0959	92		A5		2002	0506	A	U 2	2001-9	9599	2		2	0011	022
	JΡ	2002	2559	64		A		2002	0911	J	P 2	2001-3	3258	43	20011024			
PRIOR	IORITY APPLN. INFO.:									J	P 2	2000-3	3240	43	ž	A 2	0001	024
										J	P 2	2000-3	3923	31	7	A 2	0001	225
										W	0 2	2001-	JP92	58	Į	w 2	0011	022

OTHER SOURCE(S): MARPAT 136:355236

GI

RN

$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 

AB The title compds. I [R1 represents substituted Ph, a substituted heterocycle, etc.; R2 represents hydrogen, aliphatic acyl, etc.; R3, R4, R5, R6, R7 and R8 represent each hydrogen, alkyl, halogeno, etc.; and X1 represents O, S, etc.] are prepared (4-Methoxyphenyl)-[4-(2-methylimidazo[1,2- $\alpha$ ]pyridin-3-yl)thiazol-2-yl]amine showed ED50 of 1.8 ng/mL against Hela cells. Formulations are given. IT 420128-16-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of imidazopyridine derivs. as antitumor agents)  $420128{-}16{-}1\ \ \text{CAPLUS}$ 

CN Carbamic acid, [4-[[3-[2-[(6-methoxy-3-pyridinyl)amino]-4-thiazolyl]-2-methylimidazo[1,2-a]pyridin-6-yl]amino]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 420128-13-8P 420128-76-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyridine derivs. as antitumor agents)

RN 420128-13-8 CAPLUS

CN Carbamic acid, [4-[[3-[2-[acetyl(6-methoxy-3-pyridinyl)amino]-4-thiazolyl]-2-methylimidazo[1,2-a]pyridin-6-yl]amino]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 420128-76-3 CAPLUS

CN Butanamide, 4-amino-N-[3-[2-[(6-methoxy-3-pyridinyl)amino]-4-thiazolyl]-2-methylimidazo[1,2-a]pyridin-6-yl]-, hydrochloride (1:4) (CA INDEX NAME)

$$_{\rm H_2N-~(CH_2)_3-C-NH}$$
  $_{\rm N}^{\rm N}$   $_{\rm N}^{\rm N}$   $_{\rm N}^{\rm N}$   $_{\rm N}^{\rm N}$ 

■ 4 HC1

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:658147 CAPLUS

DOCUMENT NUMBER: 135:357881

TITLE: Heterocyclization of Functionalized Vinylic

Derivatives of Imidazo[1,2-a]pyridines

AUTHOR(S): Chezal, Jean M.; Moreau, Emmanuel; Delmas, Gregory;

Gueiffier, Alain; Blache, Yves; Grassy, Gerard;

Lartigue, Claire; Chavignon, Olivier; Teulade, Jean C.

CORPORATE SOURCE: Faculte de Pharmacie, UMR INSERM 484 Universite

d'Auvergne, Clermont-Ferrand, 63001, Fr.

SOURCE: Journal of Organic Chemistry (2001), 66(20), 6576-6584

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:357881

AB Heterocyclization of functionalized vinylic derivs. of imidazo[1,2-a]pyridines was explored exptl. and theor. using semiempirical AM1 and ab initio methods. A range of functionalized vinylic derivs. (azido, amino, and carbodiimide groups) were prepared for conversion into pyrroloazaindoles, imidazo[1,x]-, (x = 5, 6, 7, 8), [2,6]-, and [2,7]naphthyridines by thermal reaction. In the case of vinylic groups in the 5 position, peri annulation also was observed The exptl. and theor. data are compared and discussed.

IT 372147-98-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of pyrroloazaindoles and naphthyridinoimidazoles by regioselective cyclization of vinyl azide-, amine- or heterocumulene-substituted imidazo[1,2-a]pyridines)

RN 372147-98-3 CAPLUS

CN Imidazo[1,2-a]pyridine-2-carboxylic acid, 6,6'-[[2-(ethoxycarbonyl)-3-oxo-1-propene-1,3-diyl]diimino]bis-, diethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 137 THERE ARE 137 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:167966 CAPLUS

DOCUMENT NUMBER: 134:207712

TITLE: Preparation of fused pyrrolecarboxamides as GABA brain

receptor ligands

INVENTOR(S): Albaugh, Pamela; Shaw, Kenneth; Hutchison, Alan

PATENT ASSIGNEE(S): Neurogen Corporation, USA SOURCE: PCT Int. Appl., 194 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA'	TENT :	NO.			KIND DATE				APPLICATION NO.							DATE			
WO	2001	0161	03		A1 20010308					 WO 2	000-	20000830							
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,		
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,		
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,	RU,		
	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,					
		YU,	ZA,	ZW															
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,		
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,		
							GN,												
_	2381						2001												
BR	2000	0136	64		A		2002	0514	BR 2000-13664							0000	830		
EP	1210	328			A1		2002	0605		EP 2	000-	9596	43		2	0000	830		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,		
		•					RO,												
	2002												20000830						
	2002									HU 2	002-		2	0000	830				
	2002						2002	_											
JP	2003	5083	85		T		2003	0304		-	001-		-						
	2002										002-				_	0000			
	2002						2005				002-								
	2002						2002				002-		09						
	2002						2002				002-					20020227			
							2002	1229			002-					0020			
IORIT	ORITY APPLN. INFO.:										999-								
											999-					9990			
					MADDAE 104 00771					WO 2000-US23862									

OTHER SOURCE(S): MARPAT 134:207712

GΙ

AB Substituted pyrrolecarboxamide compds. [I; T = halogen, hydrogen, hydroxy, amino, alkyl or alkoxy; X = hydrogen, hydroxy, amino, benzyl, tert-butoxycarbonyl, benzyloxycarbonyl, alkyl, or alkoxy; G = -Q-(CH2)k-W-(CH2)m-Z; where Q = an optionally substituted aryl or optionally substituted heteroaryl group having from 1 to 3 rings, 3 to 8

members in each ring and from 1 to 3 heteroatoms; W = hydrogen, O, NH, NR7, S(0)00-2,CO, OC(0), C(0)0, C(0)NH, NHC(0), NR7C(0), NHS(0)0-2, NR7S(0)0-2, S(0)0-2NH, S(0)0-2NR7, and CR7R8; where R7, R8 = hydrogen or alkyl, or CR7R8 = a cyclic moiety having 3-7 carbon atoms; Z = hydrogen, hydroxy, cycloalkyl(alkoxy), amino, mono- or di(alkyl)amino, azacycloalkyl, O(alkyl), S(O)0-2(alkyl), C(O)(alkyl), OC(O)(alkyl), OC(0)H, C(0)O(alky1), C(0)OH, C(0)NH(alky1), etc.; R3, R4, R5, R6 = hydrogen, alkyl, COR11 or CO2R11 (where R11 = alkyl or cycloalkyl having 3-7 carbon atoms), CONR12R13 (where R12, R13 = hydrogen, alkyl, cycloalkyl having 3-7 carbon atoms, Ph, 2-, 3-, or 4-pyridyl, or NR12R13 forms a heterocyclic group), etc.; or R3 and R4 together with the carbon atom to which they are attached form a cyclic moiety having 3-7 carbon atoms] are disclosed. These compds. are highly selective agonists, antagonists or inverse agonists for GABAA brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABAA brain receptors and are therefore useful in the diagnosis and treatment of anxiety, depression, Alzheimer's dementia, sleep and seizure disorders, overdose with benzodiazepine drugs and for enhancement of memory. Pharmaceutical compns., including packaged pharmaceutical compns., are further provided. Compds. of the invention are also useful as probes for the localization of GABAA receptors in tissue samples. Thus, To a stirred solution of 4-oxo-4,5,6,7-tetrahydro-1H-indole-3-carboxylic acid (100 mg, 0.6 mmol) and Et3N (0.15 mL, 1.1 mmol) in DMF (5 mL) at  $0^{\circ}$  is added Et chloroformate (0.1 mL, 1.1 mmol), stirred for 1 h, treated with 3-[N-trifluoroacetyl(methylaminomethyl)]aniline (0.3 g, 1.3 mmol), and the reaction mixture was stirred for 4 h to give, after workup, N-[3-(methylaminomethyl)phenyl]-4-oxo-4,5,6,7-tetrahydro-1H-indole-3carboxamide (II). II and N-[4-(2-methylaminoethyl)phenyl]-4-oxo-4,5,6,7tetrahydro-1H-indole-3-carboxamide showed binding affinity for GABAA receptor with Ki of 90 and 0.24, resp., in a binding assay described by Thomas and Tallman (J. Bio. Chemical 1981 and J. Neurosci. 1983). 329018-52-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused pyrrolecarboxamides as GABA brain receptor ligands for treatment of central nervous system diseases)

329018-52-2 CAPLUS

ΙT

RN

CN

1H-Indole-3-carboxamide, 4,5,6,7-tetrahydro-N-imidazo[1,2-a]pyridin-6-yl-4-oxo- (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:184253 CAPLUS

DOCUMENT NUMBER: 130:223263

TITLE: Preparation and bactericidal activity of

[(aminomethyl)oxooxazolidinyl]benzene derivatives

INVENTOR(S): Mills, Stuart Dennett PATENT ASSIGNEE(S): Zeneca Limited, UK SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

]	PAI	ENT 1	NO.			KIND DATE					APPL							
Ī	 WO	9911	 642			A1 19990311												
		W:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
	DK, EE, ES,		FΙ,	GB,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IS,	JΡ,	KE,	KG,			
	KP, KR, KZ,		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,			
	NO, NZ, PL,		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,			
			UA,	UG,	US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
	FI, FR, GB,		GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,			
			CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG						
7	ΑU	9888	721			A		1999	0322		AU 1	998-	8872	1		1	9980	825
]	EΡ	1007	525			A1 20000614				EP 1998-940384						19	9980	825
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,															
	JΡ	2001	5142.	59		T		2001	0911		JP 2	000-	5086	31		1	9980	825
	ZA	9807	861			A		1999	0301		ZA 1	998-	7861			1	9980	828
1	US	6362	191			В1		2002	0326		US 2	000-	4859	72		2	0000	218
PRIOR	CIORITY APPLN. INFO.:										GB 1	997-	1820	3	1	A 1	9970	829
											GB 1	997-	2716	C	2	A 1	9971	224
											WO 1998-GB2556						9980	825
O = 11 = 5	ED 6011D6E (6)							100	0000	c 0								

OTHER SOURCE(S): MARPAT 130:223263

GI

The title compds. I [A = 5-membered heteroaryl ring, bicyclic benzo system containing 5-membered heteroaryl ring, bicyclic or tricyclic heteroaryl ring system with at least one bridgehead nitrogen and optionally a further 1-3 heteroatoms chosen from oxygen, sulfur and nitrogen; R1 = OH, halo, amino, nitro, cyano, carboxy, thiol, C1-4alkanoyloxy, C1-4alkoxycarbonyl, dimethylaminomethyleneaminocarbonyl, C1-4alkyl, C2-4alkenyl, C2-4alkynyl, C1-4alkoxy, optionally substituted Ph, an optionally substituted 5- or 6-membered heteroaryl ring or hydroxyC1-4alkyl; n = 0-6; R2, R3 = H, F; R4 = C1-4alkyl], useful as antibacterial agents against gram-pos. pathogens, were prepared E.g., N-([(5S)-N-(4-[imidazol-2-ylcarbonyl]phenyl)-2-oxooxazolidin-5-yl]methyl)acetamide was prepared

Ι

IT 221185-03-1P 221185-07-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and bactericidal activity of [(aminomethyl)oxooxazolidinyl]benz
 ene derivs.)

RN 221185-03-1 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[[6-(acetylamino)imidazo[1,2-a]pyridin-3-yl]carbonyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 221185-07-5 CAPLUS

CN Acetamide, N-[3-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]benzoyl]imidazo[1,2-a]pyridin-6-yl]-2-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.

IT 221185-55-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and bactericidal activity of [(aminomethyl)oxooxazolidinyl]benz ene derivs.)

RN 221185-55-3 CAPLUS

CN Acetamide, N-[3-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]benzoyl]imidazo[1,2-a]pyridin-6-yl]-2-(acetyloxy)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:553526 CAPLUS

DOCUMENT NUMBER: 119:153526

ORIGINAL REFERENCE NO.: 119:27349a,27352a

TITLE: Carbamate derivatives of 2-arylimidazo[1,2-

a]pyridinium salts as acetylcholinesterase inhibitors

and protective agents against organophosphorus

compounds

AUTHOR(S): Sundberg, Richard J.; Dalvie, Deepak; Cordero,

Joehassin; Musallam, H. A.

CORPORATE SOURCE: Dep. Chem., Univ. Virginia, Charlottesville, VA,

22901, USA

SOURCE: Chemical Research in Toxicology (1993), 6(4), 506-10

CODEN: CRTOEC; ISSN: 0893-228X

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

AB A series of 2-arylimidazo[1,2-a]pyridinium salts with (N,N-dimethylcarbamoyl)oxy or (N-methylcarbamoyl)oxy groups at the 3'- or 4'-position on the Ph substituent and various substituents on the imidazo[1,2-a]pyridine ring have been synthesized (e.g. I). The compds. show in vitro inhibitory activity against elec. eel acetylcholinesterase (AChE), type III, and several of the compds. show protective effects toward the organophosphorus AChE inhibitor soman in mice. The possible structural relationship of these compds. to physostigmine and pyridostigmine is considered.

Ι

IT 149964-91-0P, BM 04364 149964-92-1P, BL 55142 149964-93-2P, BM 05567 149964-95-4P, BM 04926 149964-96-5P, BM 04935 149964-97-6P, BM 04346 149965-06-0P, BM 03689 149965-11-7P, BM 03670 149965-13-9P, BM 03189 149965-15-1P, BM 07650 150086-29-6P, BM 03198

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antidotal activity of)

RN 149964-91-0 CAPLUS

CN Imidazo[1,2-a]pyridinium, 2-[4-[[(dimethylamino)carbonyl]oxy]phenyl]-6-(formylamino)-1-methyl-, chloride (9CI) (CA INDEX NAME)

• c1-

RN 149964-92-1 CAPLUS

CN Imidazo[1,2-a]pyridinium, 6-(acetylamino)-2-[4- [[(dimethylamino)carbonyl]oxy]phenyl]-1-methyl-, chloride (9CI) (CA INDEX NAME)

● C1-

RN 149964-93-2 CAPLUS

CN Imidazo[1,2-a]pyridinium, 6-(acetylmethylamino)-2-[4- [[(dimethylamino)carbonyl]oxy]phenyl]-1-methyl-, chloride (9CI) (CA INDEX NAME)

● C1-

RN 149964-95-4 CAPLUS

CN Imidazo[1,2-a]pyridinium, 6-(benzoylamino)-2-[4[[(dimethylamino)carbonyl]oxy]phenyl]-1-methyl-, chloride (9CI) (CA INDEX NAME)

• c1-

RN 149964-96-5 CAPLUS

CN Imidazo[1,2-a]pyridinium, 2-[4-[[(dimethylamino)carbonyl]oxy]phenyl]-1-methyl-6-[[(methylamino)carbonyl]amino]-, chloride (9CI) (CA INDEX NAME)

● C1-

RN 149964-97-6 CAPLUS

CN Imidazo[1,2-a]pyridinium, 2-[4-[[(dimethylamino)carbonyl]oxy]phenyl]-6-[(methoxycarbonyl)amino]-1-methyl-, chloride (9CI) (CA INDEX NAME)

● C1-

RN 149965-06-0 CAPLUS

CN Imidazo[1,2-a]pyridinium, 6-(acetylamino)-2-[3-[[(dimethylamino)carbonyl]oxy]phenyl]-1-methyl-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 149965-05-9 CMF C19 H21 N4 O3

CM 2

CRN 16722-51-3 CMF C7 H7 O3 S

RN 149965-11-7 CAPLUS

CN Imidazo[1,2-a]pyridinium, 6-(acetylamino)-1-methyl-2-[3-[[(methylamino)carbonyl]oxy]phenyl]-, iodide (9CI) (CA INDEX NAME)

• I-

RN 149965-13-9 CAPLUS
CN Tmidazo[1,2-alpyridinium, 6-(acetylamino)-1-

CN Imidazo[1,2-a]pyridinium, 6-(acetylamino)-1-methyl-2-phenyl-, iodide (9CI) (CA INDEX NAME)

• I-

RN 149965-15-1 CAPLUS

CN Imidazo[1,2-a]pyridinium, 6-[(methoxycarbonyl)amino]-1-methyl-2-phenyl-,

chloride (9CI) (CA INDEX NAME)

● Cl-

RN 150086-29-6 CAPLUS CN

Imidazo[1,2-a]pyridinium, 6-(acetylamino)-1-methyl-2-[4[[(methylamino)carbonyl]oxy]phenyl]-, iodide (9CI) (CA INDEX NAME)

• I-

L4 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:661569 CAPLUS

DOCUMENT NUMBER: 117:261569

ORIGINAL REFERENCE NO.: 117:45065a, 45068a

TITLE: Silver halide color photographic material

INVENTOR(S): Yamakawa, Kazuyoshi; Ishii, Yoshio PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04191736 PRIORITY APPLN. INFO.: GI	A	19920710	JP 1990-321022 JP 1990-321022	19901127 19901127

AB In the title material comprising a support having thereon one or more Ag halide emulsion layers, at least one of the Ag halide emulsion layers contains a cyan coupler represented by I (Z, T = CR, N; R = H, a substituent group; Y = nonmetallic atoms for forming a 5-membered N-containing heterocyclic ring; X = H, a group to be released upon coupling reaction with an oxidized color developing agent). The title material gives excellent color reproduction

IT 144762-24-3 144762-25-4

RL: TEM (Technical or engineered material use); USES (Uses) (photog. coupler)

RN 144762-24-3 CAPLUS

CN Butanamide, N-[6-(acetylamino)-5-chloro-8-hydroxy-2-(trifluoromethyl)imidazo[1,2-a]pyridin-7-yl]-2-[2,4-bis(1,1-dimethylpropyl)phenoxy]- (CA INDEX NAME)

RN 144762-25-4 CAPLUS

CN Octanamide, 2-[2,4-bis(1,1-dimethylpropyl)phenoxy]-N-(5-chloro-7-cyano-8-hydroxy-2-methylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

L4 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:661567 CAPLUS

DOCUMENT NUMBER: 117:261567

ORIGINAL REFERENCE NO.: 117:45065a, 45068a

TITLE: Silver halide color photographic material

INVENTOR(S): Yamakawa, Kazuyoshi; Ishii, Yoshio PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Patent Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04190232 PRIORITY APPLN. INFO.: GI	A	19920708	JP 1990-317882 JP 1990-317882	19901126 19901126

AB The title material contains a cyan coupler represented by general structure I (R1 = H, a substituent group; Z = CR2, N; R2 = H, a substituent group; Y = nonmetallic atoms for forming a N-containing 5-membered heterocyclic ring; X = H, a group to be released upon reaction with an oxidized color developing agent; R1 and R2 or R2 and X may form a 5- to 7-membered ring). The title material shows high sensitivity.

IT 144762-00-5

RL: TEM (Technical or engineers

RL: TEM (Technical or engineered material use); USES (Uses) (photog. cyan coupler)

RN 144762-00-5 CAPLUS

Ι

CN Acetamide, N-[7-(acetylamino)-5-hydroxy-8-(2-hydroxyethoxy)-2,3-bis(trifluoromethyl)imidazo[1,2-a]pyridin-6-yl]-2-[2,4-bis(1,1-dimethylpropyl)phenoxy]- (CA INDEX NAME)

L4 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:101827 CAPLUS

DOCUMENT NUMBER: 114:101827

ORIGINAL REFERENCE NO.: 114:17357a,17360a

TITLE: Synthesis of 1H-imidazo[1,2-a]pyrazolo[3,4-c]pyridines
AUTHOR(S): Gueiffier, Alain; Milhavet, Jean Claude; Blache, Yves;
Chavignon, Olivier; Teulade, Jean Claude; Madesclaire,
Michel; Viols, Henry; Dauphin, Gerard; Chapat, Jean

Pierre

CORPORATE SOURCE: Lab. Chim. Org. Pharm., Fac. Pharm., Montpellier,

34060, Fr.

SOURCE: Chemical & Pharmaceutical Bulletin (1990), 38(9),

2352-6

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:101827

GΙ

The reaction of nitrosyl chloride with 6- and 8-acetamido-7methylimidazo[1,2-a]pyridines I (R1 = R3 = H, R2 = NHAc, R4 = Ph, CO2Et;
R1 = NHAc, R2 = R3 = H, R4 = CO2Et) reveal clear differences of reactivity
of these isomeric structures. After bifunctionalization of the imidazolic
moiety, the 6-acetamido derivs. do not yield the 1H-imidazo[1,2a]pyrazolo[4,5-d]pyridine system, but undergo a Gomberg-Bachman reaction
complicated by Dimroth rearrangement. In contrast, upon similar
treatment, the 8-acetamido compds. I (R1 = NHAc, R2 = H, R3 = Br, NO2; R4
= CO2Et) yielded the N-nitrosoacetamides I [R1 = N(NO)Ac], which were
converted into 1H-imidazo[1,2-a]pyrazolo[3,4-c]pyridines II (R3 = Br, NO2)
in 22 and 34% yields, resp., without rearrangement.

IT 132272-57-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and attempted N-nitrosation of, with nitrosyl chloride)

RN 132272-57-2 CAPLUS

CN Acetamide, N-(7-methyl-2-phenylimidazo[1,2-a]pyridin-6-yl)- (CA INDEX NAME)

ANSWER 29 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN T. 4

ACCESSION NUMBER: 1990:30227 CAPLUS

DOCUMENT NUMBER: 112:30227

ORIGINAL REFERENCE NO.: 112:5065a,5068a

Cationic antiprotozoal drugs. Trypanocidal activity TITLE:

of 2-(4'-formylphenyl)imidazo[1,2-a]pyridinium

quanylhydrazones and related derivatives of quaternary

heteroaromatic compounds

AUTHOR(S): Sundberg, Richard J.; Dahlhausen, Daniel J.;

> Manikumar, G.; Mavunkel, B.; Biswas, A.; Srinivasan, V.; Musallam, H. A.; Reid, Willis A., Jr.; Ager, Arba

CORPORATE SOURCE: Dep. Chem., Univ. Virginia, Charlottesville, VA,

22901, USA

SOURCE: Journal of Medicinal Chemistry (1990), 33(1), 298-307

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

A series of quaternary 2-phenylimidazo[1,2-a]pyridinium salts were prepared and evaluated for antiparasitic activity. Primary attention was focused on derivs. with amido, substituted hydrazone, and heterocyclic functionality at the para-position of the Ph substituent. Guanylhydrazones and N-substituted quanylhydrazones of the 4'-formyl-substituted compds. were very active against the blood state Trypanosoma rhodesiense in mice by s.c. or oral administration. The most potent compds. caused 100% survival for 30 days at <1.0 mg/kg, s.c., and >5.0 mg/kg, orally. Weaker activity was noted for certain other 4'-substituents such as carboxamidines and carboxamide oximes. Considerable variation in structure, including replacement of the imidazo[1,2-a]pyridinium ring by other cationic heterocyclic rings and insertion of linking groups between the heterocyclic ring and Ph group, could be done, and a high level of activity was maintained. Relationships between these structural changes and biol. activity are discussed.

ΙΤ 123509-32-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and trypanosomicidal activity of, structure in relation to) 123509-32-0 CAPLUS

RN

CN Imidazo[1,2-a]pyridinium, 6-(acetylamino)-2-[4-[[(aminoiminomethyl)hydrazono]methyl]phenyl]-1-methyl-, salt with 4-methylbenzenesulfonic acid (1:1), mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM

CRN 104-15-4 CMF C7 H8 O3 S

CM 2

CRN 123509-31-9

C18 H20 N7 O . C7 H7 O3 S CMF

> СМ 3

CRN 123509-30-8 CMF C18 H20 N7 O

$$\begin{array}{c} \text{Me} \\ \\ \\ \text{N}^+ \\ \\ \text{CH} \\ \\ \text{N}^- \text{NH} \\ \\ \text{C} \\ \\ \text{N}^- \text{NH} \\ \\ \text{N}^- \text{N}^- \text{NH} \\ \\ \\ \text{N}^- \text{N}^- \text{NH} \\ \\ \\ \text{N}^- \text{N}^- \text{NH} \\ \\ \\ \text{N}^- \text{N}^- \text{N}^- \text{NH} \\ \\ \text{N}^- \text{$$

CM 4

CRN 16722-51-3 CMF C7 H7 O3 S

ANSWER 30 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN L4

1989:553802 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 111:153802

ORIGINAL REFERENCE NO.: 111:25653a, 25656a

Imidazopyridine derivatives for the treatment of TITLE:

ulcers, a process for their preparation, and their

pharmaceutical compositions

Shiokawa, Youichi; Nagano, Masanobu; Itani, Hiromichi INVENTOR(S):

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 308917 EP 308917	A2 A3	19890329 19900711	EP 1988-115541	19880922
R: AT, BE, CH,			GR, IT, LI, LU, NL, SE	
ZA 8806831	A	19890530	ZA 1988-6831	19880913
FI 8804318	A	19890325	FI 1988-4318	19880921
JP 01151579	A	19890614	JP 1988-238522	19880922
US 4920129	A	19900424	US 1988-247657	19880922
DK 8805320	A	19890325	DK 1988-5320	19880923
NO 8804231	A	19890328	NO 1988-4231	19880923
AU 8822783	A	19890406	AU 1988-22783	19880923
HU 48245	A2	19890529	HU 1988-4996	19880923
HU 201934	В	19910128		
CN 1033628	A	19890705	CN 1988-106859	19880923
PRIORITY APPLN. INFO.:			GB 1987-22488	A 19870924
OTHER SOURCE(S):	MARPAT	111:15380	)2	

GI

AΒ Title compds. I [R1 = alkynyl; R2, R3 = alkyl; R4 = (protected) amino; R5 = H, halo, NO2, protected CO2H, (protected) amino, (substituted) alkyl, N, N-dialkylsulfamoyl; A = alkylene] are prepared for use in therapy of ulcers. Cyclocondensation of 2,3-diaminopyridine with 3-mesyloxy-5-hexyn-2-one in refluxing MeOH gave 8-amino-3-(2-propynyl)-2methylimidazo[1,2-a]pyridine, which was alkylated by 2,6-Me(MeO2CNH)C6H3CH2C1 and Et3N in MeOH to give II. At 3.2 mg/kg orally in dogs with Heidenhain pouches, II completely inhibited gastric acid secretion induced by i.v. gastrin (10  $\mu g/kg/h$ ).

122771-54-4P ΙT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

ΙI

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as ulcer inhibitor)

RN 122771-54-4 CAPLUS

CN Carbamic acid, [2-[[[6-(acetylamino)-2-methyl-3-(2-propynyl)imidazo[1,2-a]pyridin-8-yl]amino]methyl]-3-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)

MeO-C-NH Me

$$\begin{array}{c} CH_2 \\ NH \\ N \end{array}$$

AcNH 
$$\begin{array}{c} CH_2 - C = CH \\ CH_2 - C = CH \\ \end{array}$$

L4 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:23789 CAPLUS

DOCUMENT NUMBER: 110:23789

ORIGINAL REFERENCE NO.: 110:4021a,4024a

TITLE: Preparation of 2-aryl- and 2-

(aryloxymethyl)imidazo[1,2-a]pyridines and related

compounds

AUTHOR(S): Sundberg, Richard J.; Dahlhausen, D. J.; Manikumar,

G.; Mavunkel, B.; Biswas, Atanu; Srinivasan, V.; King,

Fred, Jr.; Waid, Philip

CORPORATE SOURCE: Dep. Chem., Univ. Virginia, Charlottesville, VA,

22901, USA

SOURCE: Journal of Heterocyclic Chemistry (1988), 25(1),

129 - 37

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:23789

GΙ

$$R^{2}$$
 $R^{2}$ 
 $R^{2}$ 

As series of arylimidazopyridines I (R = H, R1 = H, 6-Me, 7-Me, 6-NO2, 6-Cl, 6-iodo, 6-OMe, 6-SEt, 6-SPr; R2 = Br, NO2) were prepared by the cyclocondensation of 2-aminopyridines with 4-R2C6H4COCH2Br (II). I (R = H, R1 = 6-NHAc, 6-SOEt, 6-SO2Et, 6-cyano- 6-CHO, R2 = NHAc, NHSO2Me, NHSO2Ph, cyano, CHO, CO2Me, CONH2, CSNH2; R = Br, cyano, CHO, R1 = H, R2 = Me, Br, NO2) were also prepared Imidazolthiazoles III and imidazopyrimidines IV (R2 = NO2, NHAc, iodo, cyano, CHO) were prepared by the reactions of 2-aminothiazoles and 2-aminopyrimidine resp. with II (R2 = Br, NO2, iodo). Various other heterocyclic compds., e.g., 4-R3CH2OC6H4CHO (R3 = 1-methylimidezol-2-yl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 4-thiazolyl, etc.), were prepared by condensation reactions.

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion to aldehyde)

RN 118000-60-5 CAPLUS

CN Acetamide, N-[2-(4-cyanophenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

IT 118000-59-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and cyanation of)

RN 118000-59-2 CAPLUS

CN Acetamide, N-[2-(4-bromophenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

IT 118000-58-1P 118000-61-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 118000-58-1 CAPLUS

CN Acetamide, N-[4-[6-(acetylamino)imidazo[1,2-a]pyridin-2-y1]pheny1]- (9CI) (CA INDEX NAME)

RN 118000-61-6 CAPLUS

CN Acetamide, N-[2-(4-formylphenyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

L4 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1981:569072 CAPLUS

DOCUMENT NUMBER: 95:169072

ORIGINAL REFERENCE NO.: 95:28261a,28264a

TITLE: Imidazo[1,2-a]pyridine anthelmintics. Synthesis of

6-phenylaminoimidazo[1,2-a]pyridine-2-carbamate and

5-acylaminopyridines by a Chapman rearrangement

AUTHOR(S): Peterson, L. H.; Douglas, A. W.; Tolman, R. L.

CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065,

USA

SOURCE: Journal of Heterocyclic Chemistry (1981), 18(4),

659-62

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 95:169072

GΙ

AB The title compound (I) a potential anthelmintic agent, was prepared in seven steps from 5-hydroxy-2-picoline. The intermediate 5-(N-phenylbenzamido)-2-picoline was prepared by a facile Chapman rearrangement of the corresponding benzimidoyl ester. Oxidation and Curtius rearrangement of the substituted picoline gave 5-(N-phenylbenzamido)-2-aminopyridine which underwent ring closure and debenzoylation to furnish I. Fries rearrangement of the penultimate N-benzoyl derivative gave a 6-(p-benzoylphenylamino)imidazo[1,2-a]pyridine derivative, whose structure was confirmed by NMR study. I lacked significant anthelmintic activity.

IT 79441-23-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 79441-23-9 CAPLUS

CN Carbamic acid, [6-(benzoylphenylamino)imidazo[1,2-a]pyridin-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Ph-} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

L4 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1973:43479 CAPLUS

DOCUMENT NUMBER: 78:43479

ORIGINAL REFERENCE NO.: 78:6879a,6882a

TITLE: Imidazo[1,2-a]pyridines

INVENTOR(S): Fisher, Michael H. PATENT ASSIGNEE(S): Merck and Co., Inc.

SOURCE: U.S., 8 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 3701780	 A	19721031	US 1970-73603		19700918
GB 1353370	A	19740515	GB 1971-42581		19710913
AU 7133578	A	19730322	AU 1971-33578		19710916
ZA 7106205	A	19730425	ZA 1971-6205		19710916
PRIORITY APPLN. INFO.:			US 1970-73603	A	19700918

GI For diagram(s), see printed CA Issue.

AB Imidazo [1,2-a]pyridines I, useful as fungicides and anthelmintics, were prepared Thus I (R = 4-thiazolyl, R1 = H) was prepared via a Grignard reaction of 4-cyanothiazole; bromination of the resulting 4-acetylthiazole and cyclization of the 4-(bromoacetyl)thiazole with 2-aminopyridine.

Alternately, I (R = NHCO2Me; R1 = Me) was prepared in 4 steps from C1CH2CONH2 and 2-(p-aminobenzene-sulfonamido)-5-methylpyridine. About 27 I (e.g. R = C.tplbond.N, CONH2, NH2; R4 = NH2, NO2, Me) were also prepared IT 36911-63-4 38923-01-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxidation of)

RN 36911-63-4 CAPLUS

CN Carbamic acid, [2-(4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 38923-01-2 CAPLUS

CN Carbamic acid, [2-(4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]-, methyl ester (9CI) (CA INDEX NAME)

IT 36911-64-5P 38923-06-7P 38923-11-4P

38923-12-5P

RN 36911-64-5 CAPLUS

CN Carbamic acid, [2-(4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]-, 1-methylethyl

ester (9CI) (CA INDEX NAME)

RN 38923-06-7 CAPLUS

CN Benzamide, N-[2-(4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 38923-11-4 CAPLUS

CN Carbamic acid, [2-(3-oxido-4-thiazoly1)imidazo[1,2-a]pyridin-6-y1]-, methyl ester (9CI) (CA INDEX NAME)

RN 38923-12-5 CAPLUS

CN Carbamic acid, [2-(3-oxido-4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1972:547658 CAPLUS

DOCUMENT NUMBER: 77:147658

ORIGINAL REFERENCE NO.: 77:24235a,24238a

TITLE: Imidazo[1,2-a]pyridine anthelmintic and antifungal

agents

AUTHOR(S): Fisher, Michael H.; Lusi, Aino

CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Div., Merck and Co.,

Inc., Rahway, NJ, USA

SOURCE: Journal of Medicinal Chemistry (1972), 15(9), 982-5

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

Derivs. of 2-(4-thiazolyl)imidazo[1,2-a]pyridine, in which the 6 position was protected from inactivation by enzymic hydroxylation by means of acylamino substitution, showed antifungal activity in vitro and anthelmintic activity in vitro and in vivo; the 2 types of activity were not well correlated. 6-(Ethoxycarbonyl)amino-2-(4-thiazolyl)imidazo[1,2-a]pyridine (I) [36911-63-4] was active in vitro against trichostrongyles at 100 μg/ml and was active in vivo against a broad spectrum of helminths in sheep at 25 mg/kg orally. 6-(Isopropoxycarbonyl)amino-2-(4-thiazolyl)imidazo[1,2-a]pyridine [36911-64-5] was active at .geq.10 ppm against Aspergillus niger, Pullularia pullulans, and Penicillium luteum. To synthesize I, 4-cyanothiazole was converted with MeMgI to 4-acetylthiazole, with Br to 4-bromoacetylthiazole, and reacted with 2,5-diaminopyridine to yield 6-amino-2-(4-thiazolyl)imidazo[1,2-a]pyridine, which was reacted with Et chloroformate to yield I.

IT 36911-63-4 36911-64-5 38923-01-2 38923-06-7 38923-11-4 38923-12-5

RL: BIOL (Biological study)

(anthelmintic and fungicidal activity of)

RN 36911-63-4 CAPLUS

CN Carbamic acid, [2-(4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 36911-64-5 CAPLUS

CN Carbamic acid, [2-(4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 38923-01-2 CAPLUS

CN Carbamic acid, [2-(4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 38923-06-7 CAPLUS

CN Benzamide, N-[2-(4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]- (CA INDEX NAME)

RN 38923-11-4 CAPLUS

CN Carbamic acid, [2-(3-oxido-4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 38923-12-5 CAPLUS

CN Carbamic acid, [2-(3-oxido-4-thiazolyl)imidazo[1,2-a]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1950:22578 CAPLUS

DOCUMENT NUMBER: 44:22578

ORIGINAL REFERENCE NO.: 44:4474g-i, 4475a-b

TITLE: Syntheses of heterocyclic compounds of nitrogen. XLIX.

Pyrimidazoles

AUTHOR(S): Takahashi, Torizo; Shibasaki, Juichiro

CORPORATE SOURCE: Univ. Kyoto

SOURCE: Yakugaku Zasshi (1949), 69, 496-7 CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

cf. C.A. 44, 1977f. Heating 4 g. 2-amino-5-nitropyridine, 40 ml. H2O, and 8 g. EtOCHClCH2Cl on a sand bath for 4 hrs., neutralization with aqueous Na2CO3, filtration of the precipitate, and recrystn. from MeOH gives 1.7 g. 5-nitropyrimidazole (I), yellow prisms, m. 225°. Heating 1.5 g. I, 60 g. 35% HCl, and 3.7 g. Sn on a sand bath, drying in vacuo, taking up with 200 ml. H2O, passing in H2S, removing the SnS, and concentrating the filtrate in vacuo gives 1 g. 5-aminopyrimidazole-HCl (II). Extraction of 1 g. II in 20% NaOH solution with ether gives 0.6 g. sirup; addition of 0.8 g. BzCl, stirring with 5% Na2CO3, removal of the insol. substance, and recrystn. from alc. gives 0.7 g. 5-benzamidopyrimidazole (III), needles, m. 163-5°. Addition of 4.5 g. MeI to 1.5 g. 2-methylpyrimidazole, heating at 100° for 1 hr., removal of the excess MeI, and recrystg. from MeOH gives 2.8 g. 2-methylpyrimidazole-MeI, needles, m. 190-2°. Heating 1 g. 2-amino-5-iodo-pyridine (IV) with 2 g. BrCH2COMe at 110-20° for 3 hrs., taking up with 25 ml. 10% HCl, treatment with active C, making alkaline with dilute NaOH, taking up with ether,

and recrystg. from C6H6 gives 0.2 g. 2-methyl-5-iodopyrimidazole, yellow prisms, m. 151-2°. Boiling 2 g. IV, 3 g. MeCOCHBrCO2Et, and 5 ml. AcOH for 2 hrs., removing the AcOH, making alkaline with NaOH, and recrystg. from MeOH gives 0.1 g. Et 2-methyl-5-iodo-5-pyrimidazole-carboxylate, yellow prisms, m. 134-5°.

IT 860257-92-7P, Imidazo[1,2-a]pyridine, 6-benzamido-

RL: PREP (Preparation) (preparation of)

RN 860257-92-7 CAPLUS

CN Benzamide, N-imidazo[1,2-a]pyridin-6-yl- (CA INDEX NAME)

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12 S L1 L2

L3 290 S L1 FULL

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L435 S L3 FULL

FILE 'STNGUIDE' ENTERED AT 15:13:47 ON 22 JUL 2008

=> log y

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 2.22 373.44

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